

(9)



Europäisches Patentamt
European Patent Office
Office européen des brevets

(11) Publication number:

0 273 534
A2

(12)

EUROPEAN PATENT APPLICATION

(21) Application number: 87202629.9

(51) Int. Cl.4: **C07D 271/06**, **C07D 285/08**,
C07D 413/12, **C07D 413/04**,
C07D 417/04

(22) Date of filing: 24.12.87

Claim for the following Contracting State: GR.

(30) Priority: 27.12.86 JP 310145/86

(43) Date of publication of application:
06.07.88 Bulletin 88/27

(84) Designated Contracting States:
AT BE CH DE FR GB GR IT LI LU NL SE

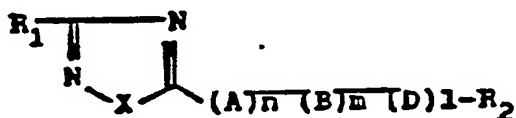
(71) Applicant: **NIPPON SODA CO., LTD.**
2-1, Ohtemachi 2-chome
Chiyoda-ku Tokyo, 100(JP)

(72) Inventor: **Kishimoto, Takashi**
345, Aza Yanagimachi Takada
Odawara-shi Kanagawa(JP)
Inventor: **Okabe, Takashi**
345, Aza Yanagimachi Takada
Odawara-shi Kanagawa(JP)
Inventor: **Yamada, Tomio**
345, Aza Yanagimachi Takada
Odawara-shi Kanagawa(JP)
Inventor: **Matsuda, Michihiko**
345, Aza Yanagimachi Takada
Odawara-shi Kanagawa(JP)
Inventor: **Kitagawa, Tatsuo**
345, Aza Yanagimachi Takada
Odawara-shi Kanagawa(JP)

(74) Representative: **van der Beek, George Frans**
et al
Nederlandsch Octrooibureau Johan de
Wittlaan 15 P.O. Box 29720
NL-2502 LS 's-Gravenhage(NL)

(54) Oxa(thia)diazole derivatives.

(57) The present invention relates to thiadiazole or oxadiazole derivatives having the formula



wherein X = O or S, R₁, R₂, A, B and D represent various substituents or connecting groups and n, m and 1 each denotes 0 or 1, their use in acaricidal compositions, processes for their preparation as well as a process for controlling pests, using said compounds.

EP 0 273 534 A2

Oxa(Thia)diazole derivatives

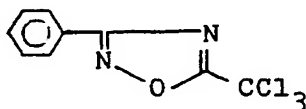
Technical Field

The present invention relates to new oxa(thia)diazole derivatives having an excellent acaricidal activity, their manufacturing processes and the acaricides made therefrom.

Background Art:

To control acaricides, organophosphorous compounds, dinitrotype compounds or a variety of other compounds have been used. In recent years, however, there have emerged mites resistant to these chemicals, and as a result the advent of a new type of acaricides has been desired.

The following compound is known as a compound having an acaricidal activity and the oxadiazole skeleton similar to the compounds of this invention.

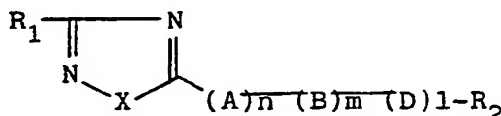


(Canadian patent No. 713052)

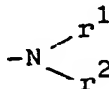
The purpose of this invention is to offer agricultural chemicals which can be advantageously synthesized on a commercial basis and which are capable of safe use with positive effects.

Disclosure of Invention:

The present invention relates to the compounds having the following formula, their manufacturing methods and the acaricides containing said compound(s) as active ingredients:



(wherein R_1 denotes a phenyl radical (which may be substituted by halogen atoms, C_{1-6} alkyl radicals, C_{1-6} alkoxy radicals (which may be substituted by C_{1-6} alkoxy radicals,) C_{2-6} alkynyloxy radicals, amino radicals, nitro radicals, phenyl radicals, phenoxy radicals or C_{1-6} alkylthio radicals), a five or six membered heterocyclic radical (which may be substituted by halogen atoms C_{1-6} alkyl radicals), a C_{1-6} alkyl radical (which may be substituted by aryl radicals) or



(wherein each of r^1 and r^2 denotes a C_{1-6} alkyl radical or a phenyl radical)
X denotes oxygen atom or sulfur atom;

A denotes $\begin{array}{c} r^3 \\ | \\ -C- \\ | \\ r^4 \end{array}$, $-O-$, $-S(O)_k-$ or $\begin{array}{c} r^5 \\ | \\ -N- \end{array}$;

B denotes $\begin{array}{c} r^6 \\ | \\ -C- \\ | \\ r^7 \end{array}$, $-O-$, $-S(O)_k'-$ or $\begin{array}{c} r^8 \\ | \\ -N- \end{array}$;

D denotes $\begin{array}{c} r^9 \\ | \\ -C- \\ | \\ r^{10} \end{array}$, $-O-$, $-S(O)_k''-$ or $\begin{array}{c} r^{11} \\ | \\ -N- \end{array}$;

n, m and l each denote 0 or 1,

(wherein r^3 , r^4 , r^6 , r^7 , r^9 and r^{10} , respectively, denotes hydrogen atom, halogen atom, C_{1-6} alkyl radical, the radical expressed by the formula $-Y-r^{12}$ (wherein r^{12} denotes hydrogen atom, cyano radical, C_{1-6} alkyl radical (which may be substituted by C_{1-6} alkoxy carbonyl radicals), cycloalkyl radical, C_{1-6} alkoxy carbonyl radical, C_{1-6} alkyl carbamoyl radical, C_{1-6} alkylthiocarbamoyl radical, phenyl carbamoyl radical (which may be substituted by halogen atom), phenylthiocarbamoyl radical (which may be substituted by halogen atoms), or C_{1-6} alkyl carbonyl radical (which may be substituted by halogen atoms); Y denotes oxygen atom, sulfur

atom, $-SO-$, $-SO_2-$, or the radical expressed by the formula $-N(r^{13})-$ (r^{13} : hydrogen atom, C_{1-6} alkyl radical), or oxo-radicals or the radical expressed by the formula NOr^{14} where r^3 and r^4 ; r^6 and r^7 or r^9 and r^{10} are combined (wherein r^{14} denotes hydrogen atom, C_{1-6} alkyl radical, C_{1-6} alkyl carbonyl radical, or C_{1-6} alkyl carbamoyl radical), provided, however, that r^6 may form a double bond in combination with r^3 or r^4 ; k, k' and k'' denote 0, 1 or 2, respectively;

r^5 , r^8 and r^{11} each denote hydrogen atom or C_{1-6} alkyl radical;

When A is $-N(r^5)-$, however, m denotes 1. Further, A and B, or B and D do not simultaneously denote oxygen atoms or sulfur atoms.)

R_2 denotes a phenyl radical (which may be substituted by $-Z-r^{15}$ (wherein r^{15} denotes hydrogen atom, C_{1-6} alkyl radical (which may be substituted by C_{1-6} alkoxy carbonyl radicals or halogen atoms), phenyl radicals, cycloalkyl radicals, the pyridyl radicals (which may be substituted by halogen atoms or C_{1-6} haloalkyl radicals), C_{1-6} alkyl carbamoyl radicals, or C_{1-6} alkyl carbonyl radicals; Z denotes oxygen atom, sulfur atom or

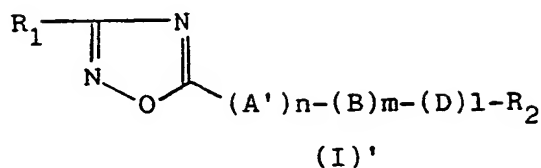
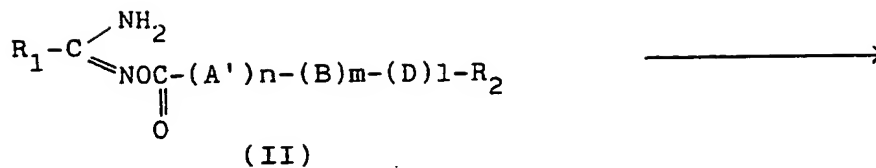
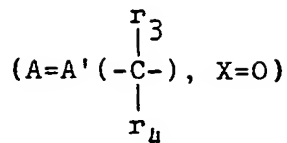
the radicals expressed by the formula $-N(r^{16})-$ (wherein r^{16} denotes hydrogen atom or C_{1-6} alkyl radical), C_{1-6} alkyl radicals halogen atoms or nitro radicals), a cycloalkyl radical, a naphthyl radical, a benzthiazolyl radical (which may be substituted by C_{1-6} alkoxy radicals or a C_{1-6} alkylamino radicals or halophenylamino radicals), or C_{1-6} alkyl radical which may be substituted by halogen atoms).

The compounds of the invention are effective against desert spider mite, two-spotted spider mite, citrus red mite and a variety of other phytophagous mites on plants. At the ovular, larval and nymphal stages of a variety of mites, in particular, these compounds exhibit excellent ovicidal, larvicidal and nymphocidal activities. Their toxicity to warmblooded animals is low and their safety high.

Best Mode for Carrying Out the Invention:

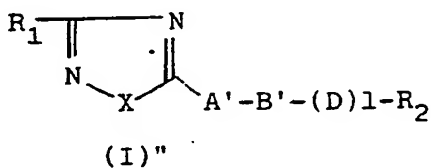
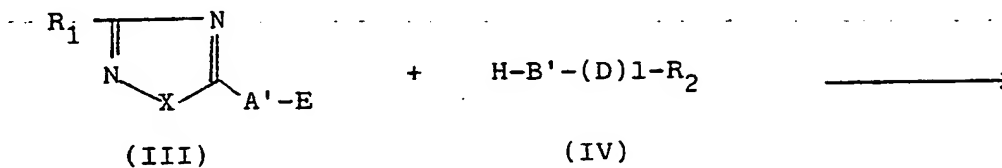
The compounds of the invention can be manufactured in compliance with the following reaction schemes.

(1) Manufacturing method (1)



Reactions are allowed to proceed for 30 minutes to 5 hours at 50°C-200°C in an organic solvent. For the solvent, DMF, xylene, dichlorobenzene, etc. can be used.

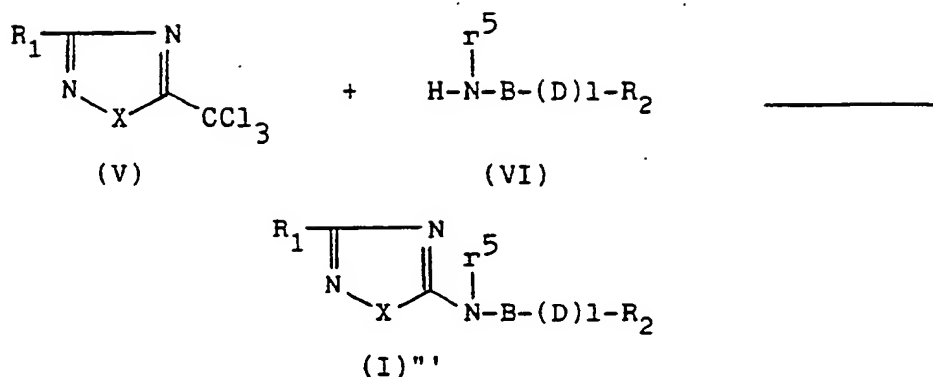
(2) Manufacturing method (2) ($A=A'$, $B=B'$ ($O, S, -\overset{\overset{8}{|}}{N}-$))



(where E denotes halogen atoms or C_{1-6} alkoxy radicals)

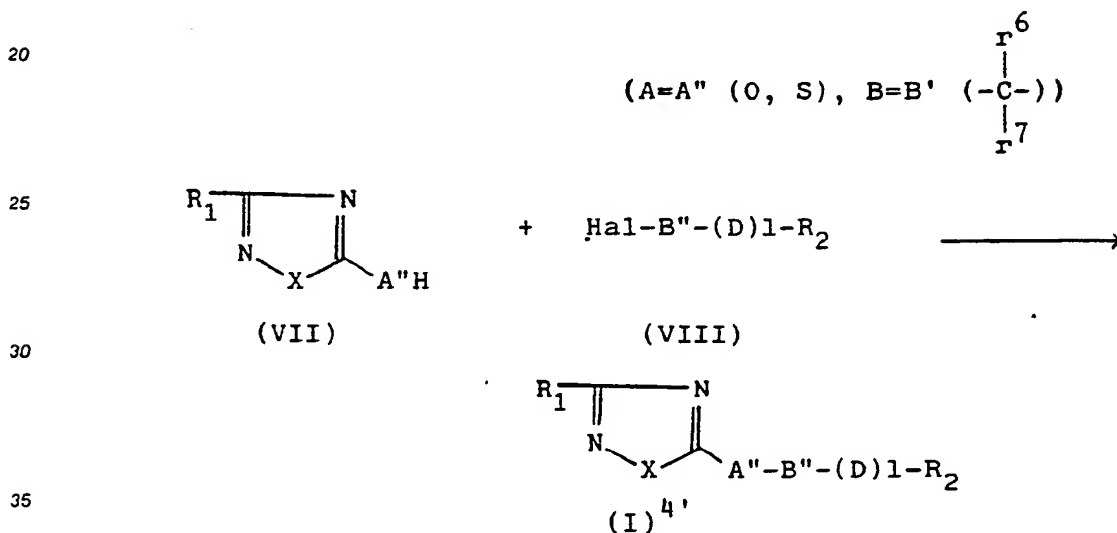
Reactions are allowed to go in an organic solvent for 1 hour to several tens of hours at a temperature of 0°C to the boiling point of the solvent used, in the presence, if desired, of a base. For the solvent, benzene, toluene, etc. may be used. For the base, pyridine, toluene, etc. may be used.

(3) Manufacturing method (3) ($A=-\overset{\overset{5}{|}}{N}-$, $n=m=1$)



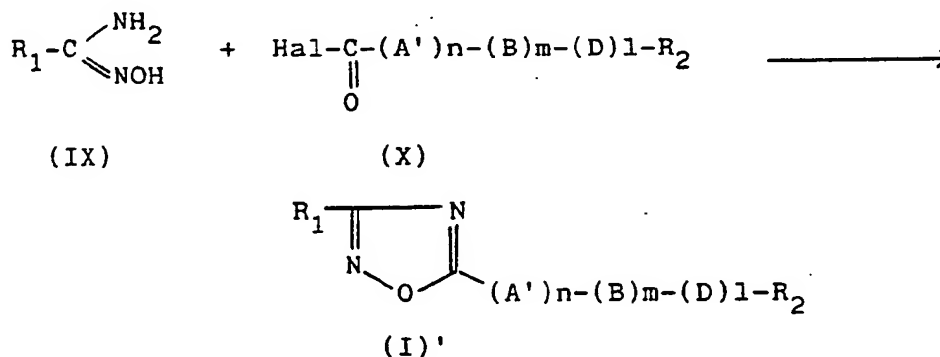
15 Reactions are allowed to go in methanol or any other suitable organic solvent for 1 hour to 10 hours at a temperature of 50°C to the boiling point of the solvent used.

(4) Manufacturing method (4)



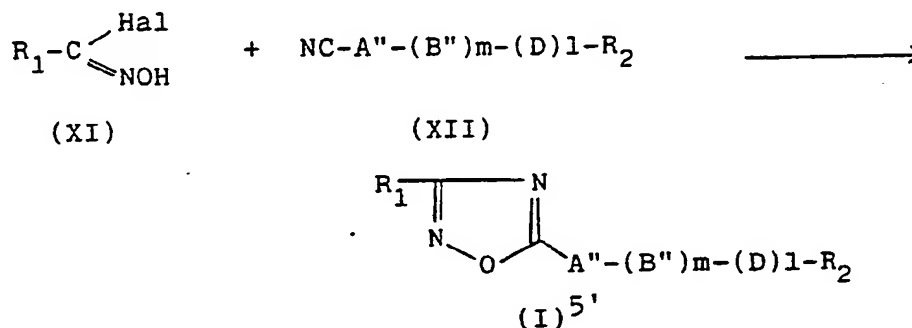
Reactions are allowed to go in DMF or any other suitable organic solvent for 30 minutes to 5 hours at a temperature of -20°C to 50°C and in the presence of a base. For the base, triethylamine, pyridine, etc. may be used. It is also possible to use sodium hydride etc. to produce beforehand a sodium salt of the compound having the formula (VII) and after this to allow this sodium salt to react with the compound having the formula (VIII).

(5) Manufacturing method (5) ($A = A'$)



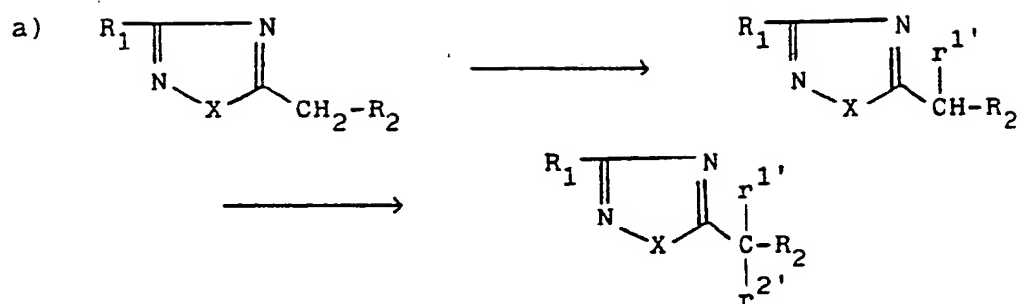
Condensation reactions are allowed to go in acetonitrile or any other suitable organic solvent, at a temperature of 0°C to the boiling point of the solvent used and in the presence of a base. When this ends, if desired, the reaction solution is allowed to undergo cyclization reaction under heat. In the cyclization reaction are used acetonitrile, DMF, xylene, dichlorobenzene or other solvent.

(6) Manufacturing method (6) (A = A", B = B")

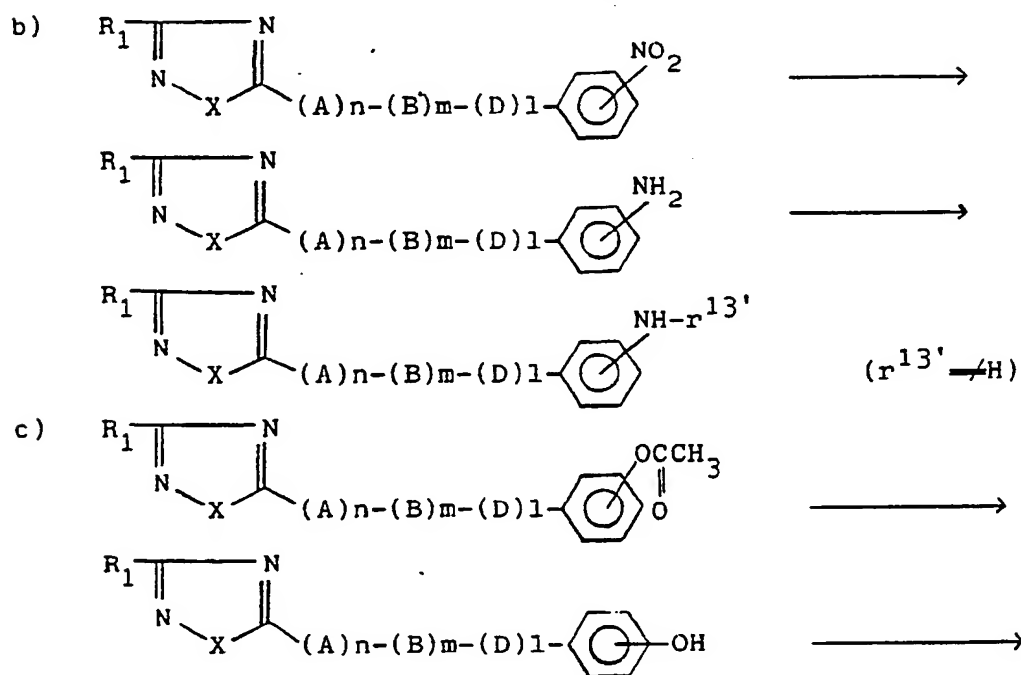


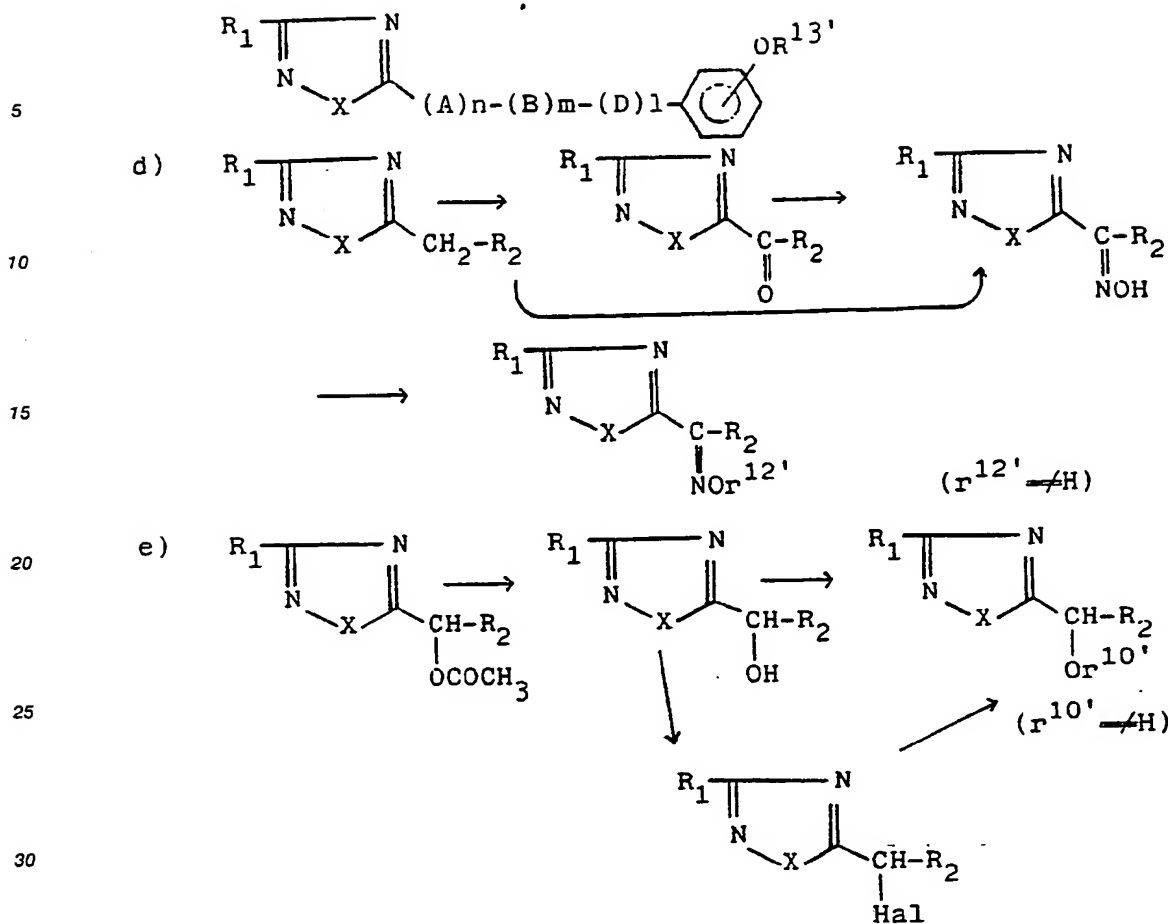
Reactions are allowed to go in diethyl ether or any one of other suitable organic solvents, in the presence of a base, such as triethylamine for a period of 1 hour to several tens of hours, at a temperature of -20°C to 50°C.

(7) Further, depending on the type of substituents of R₁, A, B, D and R₂, the compounds of this invention can also be manufactured by following the reaction scheme below or by suitably choosing known and similar reactions.



(where $\text{r}^{1'}$ and $\text{r}^{2'}$ each denote C_{1-6} alkyl radicals)



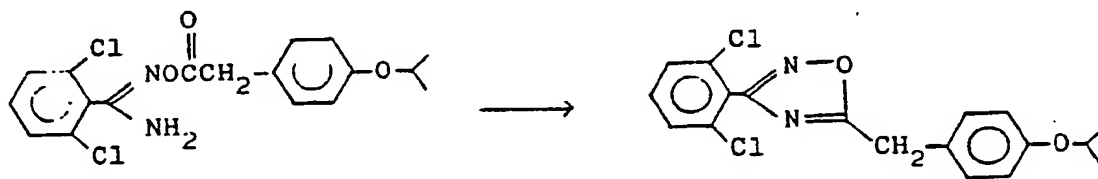


In whichever methods these reactions are allowed to proceed, normal after-treatments on completion of the reactions produce specified substance in good yields. The structure of the compounds of this invention has been determined by IR, NMR, MASS, etc.

Depending on the type of substituents, some of the compounds of this invention have isomers, which this invention shall invariably cover.

The following examples illustrate the present invention.

Example 1: 3-(2,6-dichlorophenyl)-5-(4-isopropoxybenzyl)-1,2,4-oxadiazole (Compound No. 10)



A solution of 102.5 g of N'-(4-isopropoxyphenylacetoxyl)-2,6-dichlorobenzamidine in 500 ml of DMF was heated at 140°C for 1.5 hours.

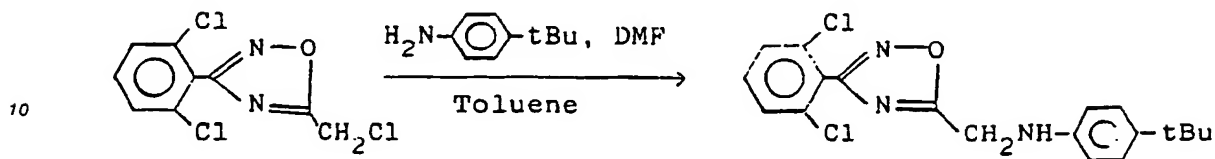
After cooling, the solution was poured into 2 kg of ice, and extracted several times with ethyl acetate. The collected extracts were washed with water, dried over anhydrous magnesium sulfate, decolorised with charcoal and evaporated under reduced pressure.

The residue was washed with ligroin, then with cold absolute methanol to give 64.7 g of Compound No.

10. m.p. 67-68°C.

Example 2 : 3-(2,6-dichlorophenyl)-5-(4-t-butylanilinomethyl)-1,2,4-oxadiazole (Compound No. 68)

5



15 To a solution of 1 g of 3-(2,6-dichlorophenyl)-5-chloromethyl-1,2,4-oxadiazole in 10 ml of toluene, 1.13 g of 4-t-butylaniline and 2 ml of DMF were added, and the mixture was heated under reflux over night.

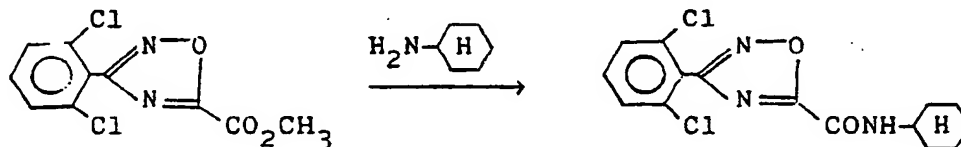
After cooling, the reaction mixture was poured into water, extracted with ethyl acetate and the extract was dried over anhydrous magnesium sulfate, evaporated under reduced pressure.

The residue obtained was purified by silica gel column chromatography to give 1.1 g of Compound No. 68. m.p. 104-106°C.

20

Example 3 : 3-(2,6-dichlorophenyl)-5-cyclohexylcarbamoyl-1,2,4-oxadiazole (Compound No. 114)

25



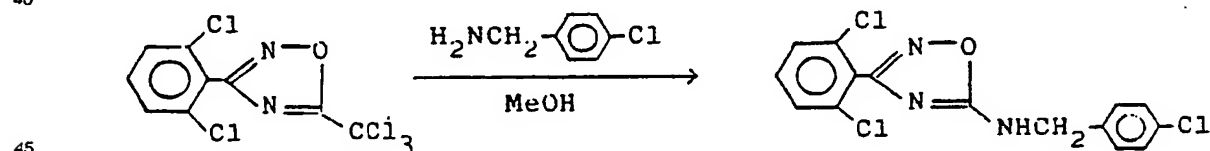
30

To a solution of 1 g of 3-(2,6-dichlorophenyl)-5-methoxycarbonyl-1,2,4-oxadiazole in 5 ml of toluene, was added 0.4 g of cyclohexylamine at room temperature.

35 After 3 hours, the reaction mixture was evaporated under reduced pressure, and the residue was purified by silica gel column chromatography to give 1.1 g of Compound No. 114. m.p. 153-155°C.

Example 4 : 3-(2,6-dichlorophenyl)-5-(4-chlorobenzylamine)-1,2,4-oxadiazole (Compound No. 66)

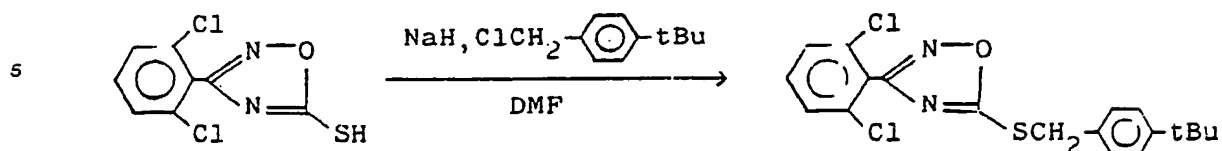
40



50 To a solution of 1 g of 3-(2,6-dichlorophenyl)-5-trichloromethyl-1,2,4-oxadiazole in 10 ml of absolute methanol was added 0.5 g of 4-chlorobenzylamine at room temperature, and the mixture was heated under reflux for 10 hours.

The reaction mixture was then evaporated under reduced pressure and the residue was purified by column chromatography on silica gel to give 0.55 g of Compound No. 66. m.p. 148-150°C.

55

Example 5: 3-(2,6-dichlorophenyl)-5-(4-t-butylbenzylthio)-1,2,4-oxadiazole (Compound No. 64)

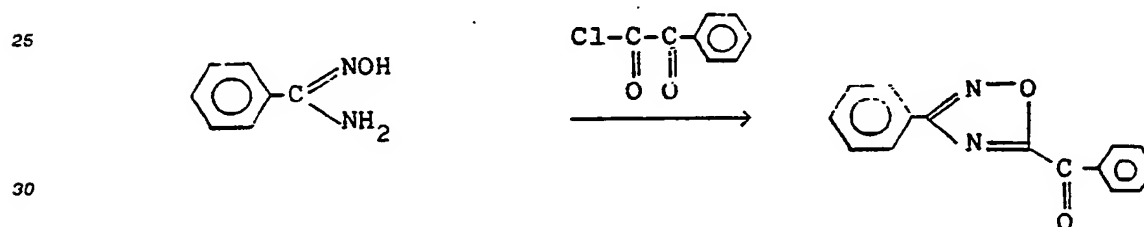
To a solution of 0.6 g of 3-(2,6-dichlorophenyl)-5-mercapto-1,2,4-oxadiazole in 10 ml of DMF was added 0.11 g of 60% sodium hydride under cooling.

After one hour of stirring at room temperature, 0.5 g of 4-t-butylbenzyl chloride was added to the reaction mixture under cooling.

15 After 3 hours of stirring at room temperature, the reaction mixture was poured into ice-water, extracted with ethyl acetate and the extracted was washed with water, dried over anhydrous magnesium sulfate and evaporated under reduced pressure.

The residue obtained was purified by silica gel column chromatography to give 0.5 g of Compound No. 64 n_D^{25} 1.5893.

20

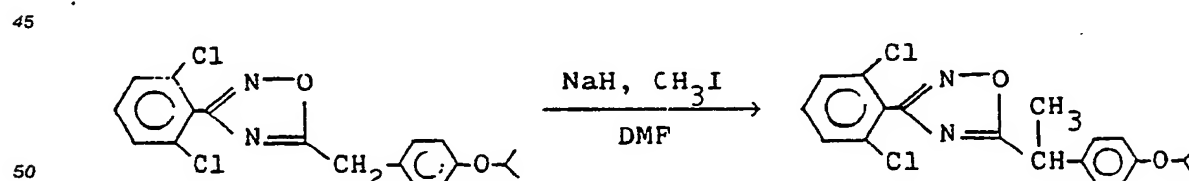
Example 6: 3-phenyl-5-benzoyl-1,2,4-oxadiazole (Compound No. 76)

To a solution of 2.5 g of N-hydroxybenzamidide in 20 ml of acetonitrile, 3.41 g of phenylglyoxyl chloride was added under cooling, and then 1.6 g of pyridine was added.

After 2 hours of stirring at room temperature, acetonitrile was distilled off under reduced pressure. The residue was extracted with ethyl acetate and the extract was washed with water, dried over anhydrous magnesium sulfate and after filtration ethyl acetate was evaporated under reduced pressure.

The residue obtained was purified by silica gel column chromatography to give 2.3 g of Compound No. 76. $n_D^{24.5}$ 1.6119.

40

Example 7: 3-(2,6-dichlorophenyl)-5-(4-methyl-4-isopropoxybenzyl)-1,2,4-oxadiazole (Compound No. 61)

To a solution of 3 g 3-(2,6-dichlorophenyl)-5-(4-isopropoxybenzyl)-1,2,4-oxadiazole in 20 ml of DMF was added 0.33 g of 60% sodium hydride below -5°C .

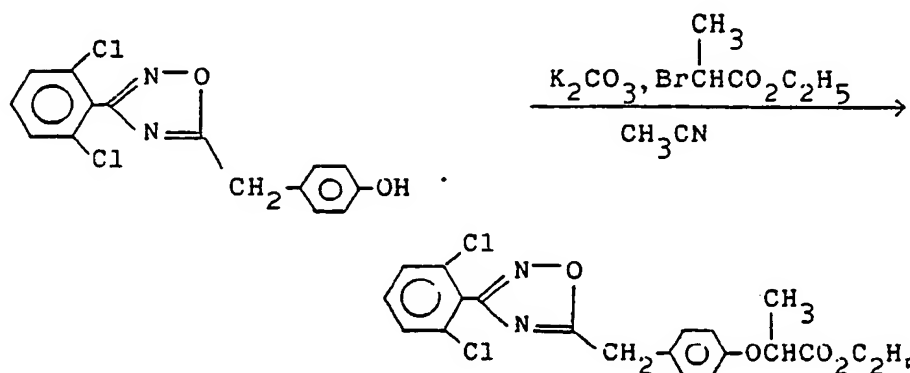
55 After 2 hours of stirring at the same temperature, 1.2 g of methyl iodide was added to the solution, followed by stirring for 4 hours at room temperature.

The reaction mixture was then poured onto ice-water, extracted with ethyl acetate and the extract was washed with water, dried over anhydrous magnesium sulfate and after filtration ethyl acetate was evap-

orated under reduced pressure.

The residue was purified by silica gel column chromatography to give 2.3 g of Compound No 61. m.p. 84-86°C.

Example 8: 3-(2,6-dichlorophenyl)-5-(4-(1-ethoxycarbonylethoxy) benzyl)-1,2,4-oxadiazole (Compound No. 33)



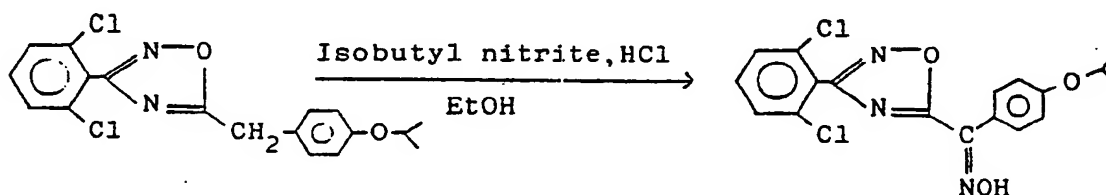
To a solution of 0.7 g of 3-(2,6 dichlorophenyl)-4-(4-hydroxybenzyl)-1,2,4-oxadiazole in 10 ml of acetonitrile, 0.31 g of anhydrous potassium carbonate and 0.45 g of ethyl 2-bromopropionate were added at room temperature.

The suspension solution was then heated under reflux over night.

After cooling, the reaction mixture was poured into water, extracted with ethyl acetate and the extract was washed with water, dried over anhydrous magnesium sulfate and after filtration ethyl acetate was evaporated under reduced pressure.

The residue obtained was purified by silica gel column chromatography to give 0.9 g of Compound No. 33. m.p. 90-92°C.

Example 9: 3-(2,6-dichlorophenyl)-5-(α -hydroxyimino)-4-isopropoxybenzyl)-1,2,4-oxadiazole (Compound Nos. 106, 107)

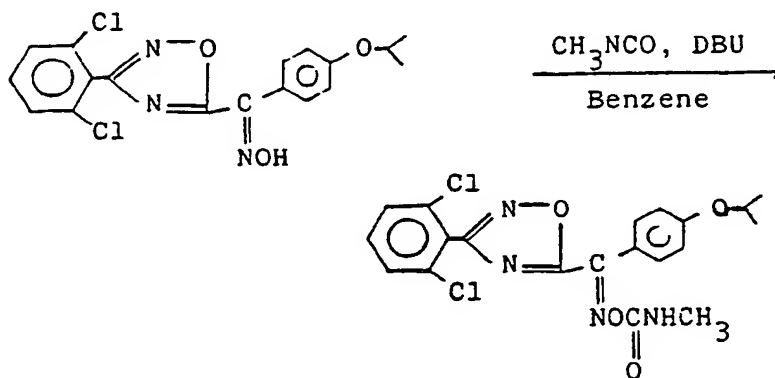


To a suspension of 8 g of 3-(2,6-dichlorophenyl)-5-(4-isopropoxybenzyl)-1,2,4-oxadiazole in 80 ml of absolute ethanol was added dropwise a solution of 5.2 g of isobutylnitrite in 5 ml of absolute ethanol with bubbling gaseous hydrogen chloride at room temperature.

After the addition of isobutylnitrite was completed, gaseous hydrogen chloride passed into the suspension for an additional 6 hours at the same temperature. The reaction mixture was then evaporated under reduced pressure, extracted with ethyl acetate and the extract was washed with water, dried over anhydrous magnesium sulfate and after filtration ethyl acetate was evaporated under reduced pressure.

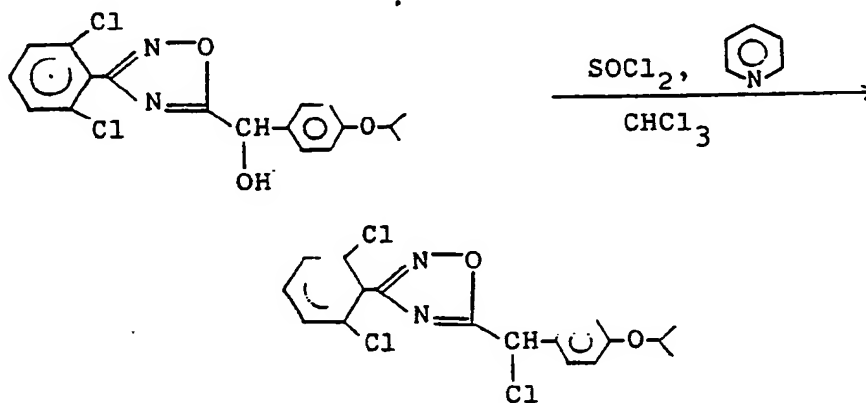
The residue obtained was purified by silica gel column chromatography to give 1.6 g of Compound No. 106. m.p. 191-194 and 0.4 g of Compound No. 107. m.p. 146-149°C.

Example 10: 3-(2,6-dichlorophenyl)-5-(N-methylcarbamoyloximino)-4-isopropoxybenzyl)-1,2,4-oxadiazole (Compound No. 110)



To a solution of 0.7 g of 3-(2,6-dichlorophenyl)-5-(4-isopropoxy-α-hydroxyiminobenzyl)-1,2,4-oxadiazole in 10 ml of benzene, 0.12 g of methyl isocyanate and one drop of DBU were added at room temperature. After 3 hours, the reaction mixture was evaporated under reduced pressure and the residue was purified by silica gel column chromatography to give 0.5 g of Compound No. 110. m.p. 123-125°C.

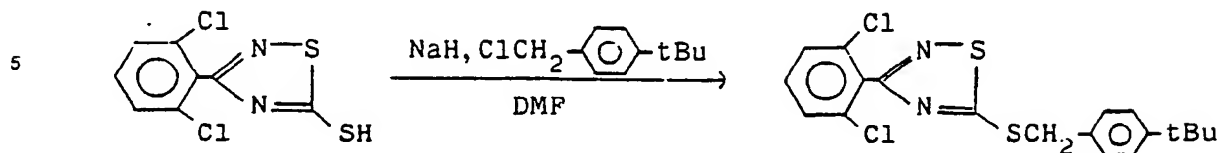
Example 11: 3-(2,6-dichlorophenyl)-5-(α-chloro-4-isopropoxybenzyl)-1,2,4-oxadiazole (Compound No. 101)



To a solution of 4 g of 3-(2,6-dichlorophenyl)-5-(4-isopropoxy-α-hydroxybenzyl)-1,2,4-oxadiazole in 12 ml of chloroform, 2.51 g of thionyl chloride and one drop of pyridine were added at room temperature. After 1 hour of stirring the reaction mixture was heated under reflux for 30 minutes and then evaporated under reduced pressure.

The residue was purified by column chromatography on silica gel to give 3.62 g of Compound No. 101. m.p. 124-126°C.

Example 12: 3-(2,6-dichlorophenyl)-5-(4-t-butylbenzylthio)-1,2,4-thiadiazole (Compound No. 127)



To a solution of 0.5 g of 3-(2,6-dichlorophenyl)-5-mercapto-1,2,4-thiadiazole in 5 ml of DMF was added 0.08 g of 60% sodium hydride at 0°C.

After 30 minutes of stirring at room temperature, 0.35 g of 4-t-butylbenzyl chloride was added dropwise to the suspension at 0°C.

15 After 2 hours of stirring at room temperature, the reaction mixture was poured into ice-water, extracted with ethyl acetate and the extract was washed with water, dried over anhydrous magnesium sulfate and evaporated under reduced pressure.

The residue obtained was purified by silica gel column chromatography to give 0.6 g of Compound No. 127. n_D^{26} 1.6132.

20 Inclusive the above, each compound with the scope of the present invention which can be prepared in analogous method is tabulated in Table 1.

25

30

35

40

45

50

55

5

Table 1

10

15

20

25

30


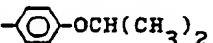
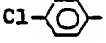
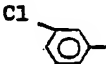

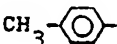
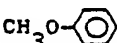
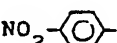
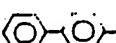


35

40

45


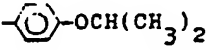
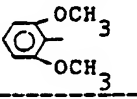
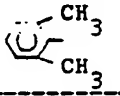
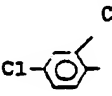
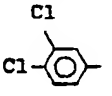
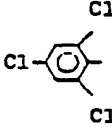
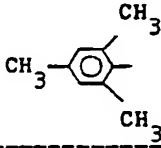
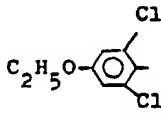
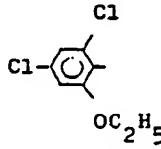
50

55

Compound No.	Structural Formula				Physical Properties () m.p.
	R_1	X	$-(A)_n-(B)_m-(D)_l-$	R_2	
1		O	$-\text{CH}_2-$		(30-31)
2		"	"	"	(67-68)
3		"	"	"	n_D^{26} 1.3935
4		"	"	"	n_D^{26} 1.5717
5		"	"	"	(48-50)
6		"	"	"	(49-50)
7		"	"	"	(83-85)
8		"	"	"	(114-116)
9		"	"	"	n_D^{22} 1.3320
10		"	"	"	(67-68)

5

to be cont'd

com- pound No.	R ₁	X	-(A)n-(B)m-(D)l-	R ₂	Physical properties () m.p.
11		O	-CH ₂ -		n _D ²⁶ 1.5915
12		"	"	"	(128-130)
13		"	"	"	n _D ²⁶ 1.5511
14		"	"	"	(42-44)
15		"	"	"	n _D ²⁷ 1.5988
16		"	"	"	(82-84)
17		"	"	"	n _D ²⁶ 1.5469
18		"	"	"	n _D ²⁶ 1.5610
19		"	"	"	n _D ²⁶ 1.5618

55

5

to be cont'd

10

15

20

25

30

35

40

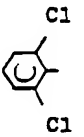
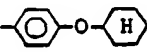
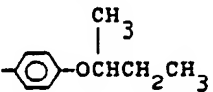
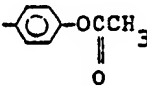
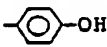
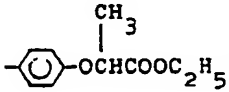
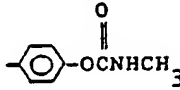
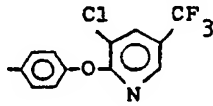

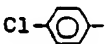
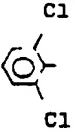
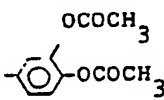
45

50

55

com- pound No.	R ₁	X	-(A)n-(B)m-(D)l-	R ₂	Physical properties () m.p.
20		O	-CH ₂ -		n _D ²⁶ 1.5836
21		"	"	"	n _D ²⁶ 1.5923
22		"	"	"	n _D ²⁶ 1.5593
23		"	"	"	n _D ^{26.5} 1.5640
24		"	"		(77-80)
25	"	"	"		n _D ²⁶ 1.5669
26	"	"	"		n _D ²⁶ 1.5611
27	"	"	"		n _D ²⁵ 1.5997
28	"	"	"		(108-111)

to be cont'd

com- pound No.	R ₁	X	-(A)n-(B)m-(D)l-	R ₂	Physical properties () m.p.
29		O	-CH ₂ -		(97-99)
30	"	"	"		(74-75)
31	"	"	"		(84-85)
32	"	"	"		(127-128)
33	"	"	"		(90-92)
34	"	"	"		(133-135)
35	"	"	"		(124-126)
36		"	"	"	n _D ²⁶ 1.6176
37		"	"	"	(75-77)
38		"	"		(117-119)

5

to be cont'd

10

15

20

25

30

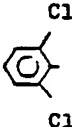
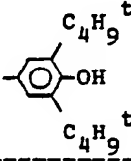
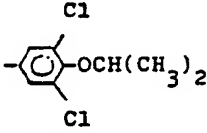

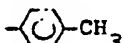

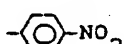
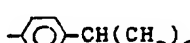
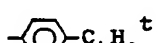
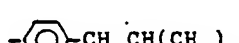


35

40

45

50

55

com- pound No.	R ₁	X	-(A)n-(B)m-(D)l-	R ₂	Physical properties () m.p.
39		O	-CH ₂ -		(122-125)
40	"	"	"		n _D ²⁵ 1.5744
41	"	"	"		(79-80)
42	"	"	"		(82-84)
43	"	"	"		(93-95)
44	"	"	"		(130-132)
45	"	"	"		n _D ²⁶ 1.5727
46	"	"	"		n _D ²⁶ 1.5622
47	"	"	"		n _D ²⁵ 1.5641
48	"	"	"		(68-70)
49	"	"	"		(47-50)

5

to be cont'd

10

15

20

25

30

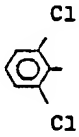
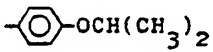

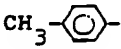
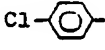
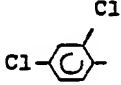
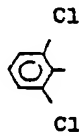
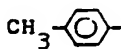
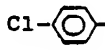

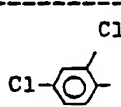
35

40

45

50

55

com- pound No.	R ₁	X	-(A)n-(B)m-(D)l-	R ₂	Physical properties () m.p.
50		O	-CH ₂ CH ₂ -		n _D ²⁷ 1.5625
51		"	"	"	n _D ²⁵ 1.4365
52		"	"	"	n _D ²⁵ 1.3145
53		"	"	"	(78-80)
54		"	"	"	(61-62)
55		"	-(n=m=l=0)	"	(90-92)
56		"	"	"	(84-86)
57		"	"	"	(105-107)
58		"	"	"	(55-58)
59		"	"	"	(115-118)

5

to be cont'd

10

15

20

25

30

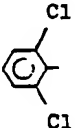
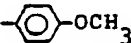
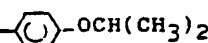
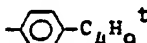

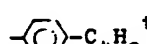


35

40

45



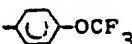
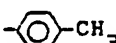
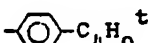
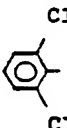




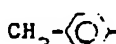
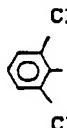
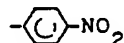

50

55

com- pound No.	R ₁	X	-(A)n-(B)m-(D)l-	R ₂	Physical properties () m.p.
60		O	-(n=m=l=0)		(122-124)
61	"	"	$\begin{array}{c} \text{CH}_3 \\ \\ -\text{CH}- \end{array}$		(84-86)
62	"	"	$\begin{array}{c} \text{CH}(\text{CH}_3)_2 \\ \\ -\text{CH}- \end{array}$	"	(103-105)
63	"	"	$\begin{array}{c} \text{CH}_3 \\ \\ -\text{C}- \\ \\ \text{CH}_3 \end{array}$	"	(95-96)
64	"	"	-S-CH ₂ -		n _D ²⁵ 1.5893
65	"	"	"		(95-98)
66	"	"	-NHCH ₂ -	"	(148-150)
67	"	"	-CH ₂ NH-	"	(116-118)
68	"	"	"		(104-106)
69	"	"	"		(105-107)
70	"	"	"		(101-103)

5

to be cont'd

com- pound No.	R ₁	X	-(A)n-(B)m-(D)l-	R ₂	Physical properties () m.p.
71		O	-CH ₂ NH-		(100-101)
72	"	"	"		(67-69)
73	"	"	"		(134-136)
74	"	"	"		(110-111)
75		"			(98-101)
76		"	"	"	n _D ^{24.5} 1.6119
77		"	"	"	(107-108)
78		"	"	"	(101-102)
79		"	-CH=CH-	"	(138-139)
80	"	"	"		(170-173)
81	"	"	"		(116-118)

55

5

to be cont'd

10

15

20

25

30



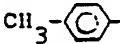
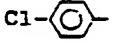
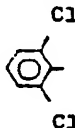
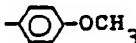
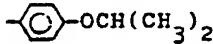
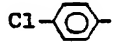
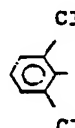




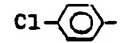
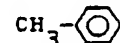
35

40

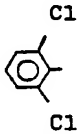


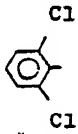
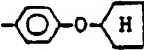
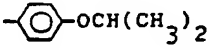
45

50

55

com- pound No.	R ₁	X	-(A)n-(B)m-(D)l-	R ₂	Physical properties () m.p.
82		O	-CH=CH-		(92-94)
83		"	"	"	(108-110)
84		"	"	"	(135-136)
85		"	"		(116-118)
86	"	"	"		(94-96)
87		"	"	"	(120-122)
88		"			(102-103.5)
89	"	"		"	(117-117.5)
90		"	"	"	(102-104)
91		"	"	"	(121-124)
92		"	"	"	(109-111)

to be cont'd

com- pound No.	R ₁	X	-(A)n-(B)m-(D)l-	R ₂	Physical properties () m.p.
93		O	$\begin{array}{c} \text{O} \\ \\ -\text{CCH}_2- \end{array}$		(206-208)
94	"	"	$\begin{array}{c} \text{Cl} \\ \\ -\text{CH}- \end{array}$	"	(66-68)
95		"	"	"	(78-80)
96		"	$\begin{array}{c} \text{OCOCH}_3 \\ \\ -\text{CH}- \end{array}$		(100-104)
97	"	"	$\begin{array}{c} \text{OH} \\ \\ -\text{CH}- \end{array}$	"	(112-114)
98	"	"	$\begin{array}{c} \text{Cl} \\ \\ -\text{CH}- \end{array}$	"	(116-118)
99	"	"	$\begin{array}{c} \text{OCOCH}_3 \\ \\ -\text{CH}- \end{array}$		(92-96)
100	"	"	$\begin{array}{c} \text{OH} \\ \\ -\text{CH}- \end{array}$	"	(112-114)
101	"	"	$\begin{array}{c} \text{Cl} \\ \\ -\text{CH}- \end{array}$	"	(124-126)
102	"	"	$\begin{array}{c} \text{OCH}_3 \\ \\ -\text{CH}- \end{array}$	"	$n_D^{21.5}$ 1.5651
103	"	"	$\begin{array}{c} \text{OC}_3\text{H}_7 \\ \\ -\text{CH}- \end{array}$	"	n_D^{23} 1.5519

5

to be cont'd

10

15

20

25

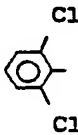

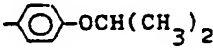


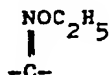
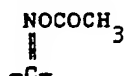
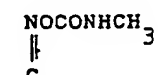




30

35

40

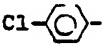


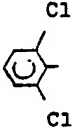

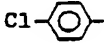
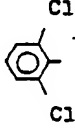



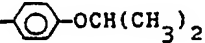


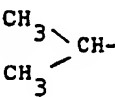
45

50

com- pound No.	R ₁	X	-(A)n-(B)m-(D)l-	R ₂	Physical properties () m.p.
104		O			n _D ^{22.5} 1.5525
105	"	"		"	(86-89)
106	"	"		"	(191-194)
107	"	"	"	"	(146-149) isomer
108	"	"		"	(95-97)
109	"	"		"	(110-115)
110	"	"		"	(123-125)
111	"	"	-CH ₂ -		(90-91)
112	"	"	"		n _D ²⁵ 1.5541
113	"	"	-(n=m=l=0)	-CH ₃	n _D ²⁵ 1.4711
114	"	"			(153-155)

55

to be cont'd

com- pound No.	R ₁	X	-(A)n-(B)m-(D)l-	R ₂	Physical properties () m.p.
115		O			(148-149)
116		"		-CH ₃	(72-74)
117		"	"	"	(112-114)
118		"	-CH ₂ -		(106-108)
119	"	"	"		(82-84)
120		"	"		(61-64)
121		"	"	"	(61-63)
122		"	"	"	(45-47)
123	CH ₃ -	"	"	"	n _D ²⁵ 1.5153
124	C ₂ H ₅ -	"	"	"	n _D ²⁶ 1.5628
125		"	"	"	n _D ²⁶ 1.5239

5

to be cont'd

10

15

20

25


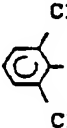





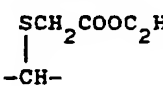
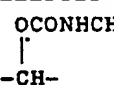
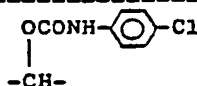
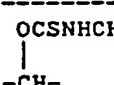
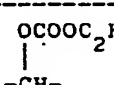
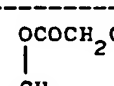
30

35

40

45

50

com- pound No.	R ₁	X	-(A)n-(B)m-(D)l-	R ₂	Physical properties () m.p.
126	^t C ₄ H ₉ -	O	-CH ₂ -	 -OCH(CH ₃) ₂	n _D ²⁷ 1.6574
127		S	-SCH ₂ -	 -C ₄ H ₉ ^t	n _D ²⁶ 1.6132
128	"	"	"	 -Cl	(72-75)
129	"	O		 -OCH(CH ₃) ₂	(103-106)
130	"	"		"	(63-66)
131	"	"		"	n _D ³⁰ 1.5692
132	"	"		"	(147-149)
133	"	"		"	(192-194)
134	"	"		"	n _D ^{25.5} 1.5993
135	"	"		"	(130-132)
136	"	"		"	(85.5-87.5)

55

5

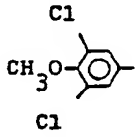
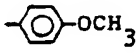
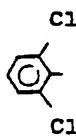
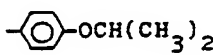
to be cont'd

com- pound No.	R ₁	X	-(A)n-(B)m-(D)l-	R ₂	Physical properties () m.p.
137		O	-CH ₂ -		n _D ²⁴ 1.5665
138		"	"	"	(77-79)
139		"	-(n=m=1=0)		(87-89)
140		"	-CH ₂ -	"	(88-90)
141		"	"		(67-68)
142		"	"	"	n _D ²⁵ 1.5609
143		"	"	"	n _D ²⁵ 1.5755
144		"	"		(68-69)

55

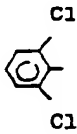
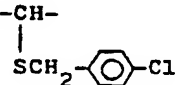

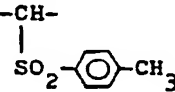
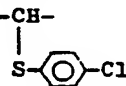
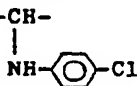


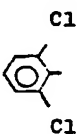

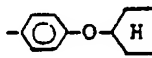
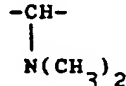

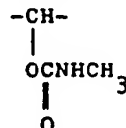

5

to be cont'd

com- pound No.	R ₁	X	-(A)n-(B)m-(D)l-	R ₂	Physical properties () m.p.
145		O	-CH ₂ -		(74-75)
146		"	$\begin{array}{c} \text{-CH-} \\ \\ \text{SOCH}_3 \end{array}$		(117-119)
147	"	"	$\begin{array}{c} \text{-CH-} \\ \\ \text{SO}_2\text{CH}_3 \end{array}$	"	(125.5-127.5)
148	"	"	$\begin{array}{c} \text{CH}_3 \\ \\ \text{-C-} \\ \\ \text{SCH}_3 \end{array}$	"	(103.5-105.5)
149	"	"	$\begin{array}{c} \text{-CH-} \\ \\ \text{NHCH}_3 \end{array}$	"	(66-67.5)
150	"	"	$\begin{array}{c} \text{-CH-} \\ \\ \text{N(CH}_3)_2 \end{array}$	"	(89-92.5)
151	"	"	$\begin{array}{c} \text{-CH-} \\ \\ \text{OCH}_2\text{CO}_2\text{C}_2\text{H}_5 \end{array}$	"	$n_D^{23.5}$ 1.5425
152	"	"	$\begin{array}{c} \text{-CH-} \\ \\ \text{SCN} \end{array}$	"	(119-120)
153	"	"	$\begin{array}{c} \text{-CH-} \\ \\ \text{NH}_2 \end{array}$	"	n_D^{23} 1.5855
154	"	"	$\begin{array}{c} \text{-CH-} \\ \\ \text{F} \end{array}$	"	n_D^{26} 1.5662

55

to be cont'd

com- pound No.	R ₁	X	-(A)n-(B)m-(D)l-	R ₂	Physical properties () m.p.
155		O			(119-121)
156	"	"		"	(183-186.5)
157	"	"		"	(114-116.5)
158	"	"		"	(173-175.5)
159		"		"	n _D ²⁴ 1.5810
160		"			n _D ²² 1.5698
161	"	"		"	n _D ²³ 1.5609
162	"	"		"	(68-71.5)
163	"	"		"	(127-130)
164	"	"		"	n _D ²¹ 1.5708

5

to be cont'd

10

15

20

25

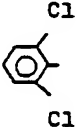
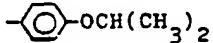
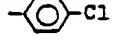

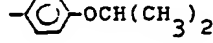

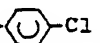
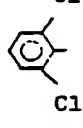
30

35

40

45

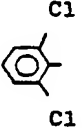
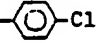

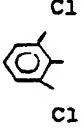

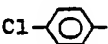
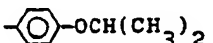
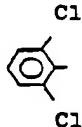
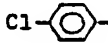
50

com- pound No.	R ₁	X	-(A)n-(B)m-(D)l-	R ₂	Physical properties () m.p.
165		O	$\begin{array}{c} \text{-CH-} \\ \\ \text{S=CNHC}_2\text{H}_5 \end{array}$		$n_D^{23} 1.5812$
166	"	"	$\begin{array}{c} \text{-CH-} \\ \\ \text{S=CNHCH}_3 \end{array}$	"	$n_D^{23} 1.5851$
167	"	"	$\begin{array}{c} \text{-CH-} \\ \\ \text{CH}_3\text{-C-CH}_3 \\ \\ \text{CH}_2\text{COCH}_3 \end{array}$	"	$n_D^{21} 1.5471$
168	"	"	-O-	"	(86-88)
169	"	"	-S-		(147-151)
170	"	"	$\begin{array}{c} \text{-CH-} \\ \\ \text{S=C-NH-} \end{array}$ 		(146-148)
171		"	$\begin{array}{c} \text{-CH-} \\ \\ \text{S=C-NHCH}_3 \end{array}$	"	(vis oil)
172	"	"	$\begin{array}{c} \text{-CH-} \\ \\ \text{S=C-NH-} \end{array}$ 	"	(vis oil)
173		"	-S-	"	(76-80)
174	"	"	-CF ₂ -	"	$n_D^{27} 1.5438$

55

5

to be cont'd

com- pound No.	R ₁	X	-(A)n-(B)m-(D)l-	R ₂	Physical properties () m.p.
175		O	$\begin{array}{c} \text{-CH-} \\ \\ \text{S=CNHCH}_3 \end{array}$		(106-109)
176		"	"	"	(143-145)
177		"	$\begin{array}{c} \text{-CH-} \\ \\ \text{S=C-NH-} \end{array}$ 	"	(127-131)
178		"	"	"	
179	"	"	-CF ₂ -		n _D ²² 1.5471
180		"	$\begin{array}{c} \text{-C-} \\ \\ \text{CH}_2 \end{array}$	"	(113-115)
181		"	$\begin{array}{c} \text{-C-} \\ \\ \text{O} \end{array}$	"	(104-107)
182	"	"	$\begin{array}{c} \text{-C-} \\ \\ \text{NOH} \end{array}$	"	(97-100)
183	"	"	"	"	(182-184) isomer
184	"	"	$\begin{array}{c} \text{-C-} \\ \\ \text{CH}_2 \end{array}$	"	(51-55)

55

5

to be cont'd

10

15

20

25

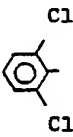
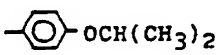

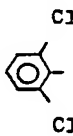
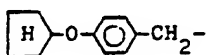
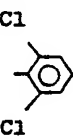
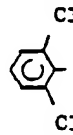
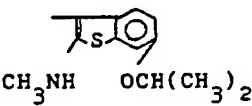
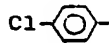
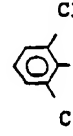
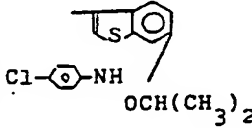
30

35

40

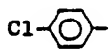
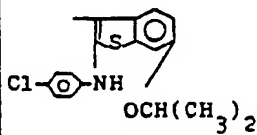
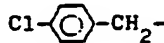
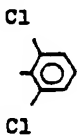
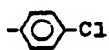
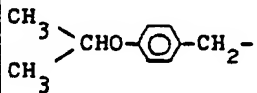
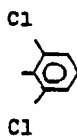
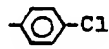
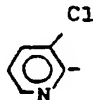
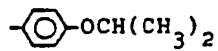
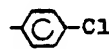
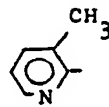

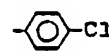
45

50

com- pound No.	R ₁	X	-(A)n-(B)m-(D)l-	R ₂	Physical properties () m.p.
185		O	$\begin{array}{c} \text{-C-} \\ \\ \text{CCl}_2 \end{array}$		n_D^{20} 1.5927
186	"	"	$\begin{array}{c} \text{-C-} \\ \\ \text{CHCH}_3 \end{array}$	"	(79-83)
187		"	"	"	n_D^{24} 1.5910
188	"	"	-(n=m=l=0)	-CCl ₃	(35-37)
189		"	"	"	(91-93)
190		"	"		(62-64)
191		"	"		(184-187)
192		"	"	"	(170-171)
193		"	"		(195-197)

55

to be cont'd

com- pound No.	R ₁	X	-(A)n-(B)m-(D)l-	R ₂	Physical properties () m.p.
194		"	"		(192-193)
195		S	"		(80-83)
196	"	"	"		(79-81)
197		"	"		n _D ²¹ 1.6022
198	"	"	"		(65-68)
199		O	-CH ₂ -		(46-48)
200	"	"	"		(90-92)
201		"	"		(52-53.5)
202	"	"	"		(58-60)

to be cont'd

com- pound No.	R ₁	X	-(A)n-(B)m-(D)l-	R ₂	Physical properties () m.p.
203		S	-(n=m=l=0)		(70-72)
204		O	-CH ₂ -		(41-42)
205	"	"	"		(95.5-97)
206		"	"	"	(76-78)
207	"	"	"		n _D ²¹ 1.5562
208		"	"	"	(94-96)
209	"	"	"		n _D ^{22.5} 1.5646
210		"	"	"	(109-110)
211	"	"	"		n _D ^{31.5} 1.5719

The acaricides covered by this invention contain as active ingredients one or more types of the compounds as expressed by the general formula (1). These active ingredients, which the compounds are, may be used as-produced but normally they are used in any of the forms which ordinary agricultural chemicals can take, namely wettable powder, dust, emulsifiable concentrate, suspension concentrates or other formulations. For additives and carriers are used soybean flour, wheat flour or other vegetable flours, diatomaceous earth, apatite, sypsum, talc, pyrophyllite, clay or other fine mineral powders, when solid formulations are intended.

When liquid formulations are intended, then for solvents are used kerosene, mineral oil, petroleum, solvent naphtha, xylene, cyclohexane, cyclohexanone, dimethylformamide, dimethylsulfoxide, alcohol, acetone, water, etc. A surface active agent may, if necessary, be added in order to give a homogeneous and suitable formulation. The wettable powder, emulsifiable concentrates, flowables, etc. thus obtained are diluted with water into suspensions or emulsions of a prescribed concentration, before they are actually sprayed on plants in the field. In the case of dusts or granules, they are directly applied without further

processing.

The concentration of the active ingredient in an pesticidal composition may vary according to type of formulation, and is, for example, in the range of 5-70 weight percent, preferably 10-30 weight percent, in wettable powder; 5-30 weight percent, preferably 10-20 weight percent, in emulsifiable concentrate; 1-10 weight percent, preferably 2-5 weight percent in dust; 5-40 weight percent, preferably 10-30 weight percent in suspension concentrate; 1-10 weight percent, preferably 2-5 weight percent in granular formulation.

Needless to say, the compounds which this invention covers are sufficiently effective even if they are applied singly. Since these compounds are weak in adulticidal activity, however, their application in combination with one of more types of compounds having adulticidal activity against phytophagous mites, proves to be remarkably effective. In addition to adulticidally active compounds, one or more types of other agricultural chemicals may also be used in combination with the compounds of this invention.

Typical examples of acaricides or insecticides that can be used together with the compounds of this invention are as follows.

Acaricides (fungicides): BCPE chlorobenzilate, chlorpropylate, proclonol, phenisobromolate, dicofol, dinobuton, binapacryl, chlorophenamidine, amitraz, BPPS, PPPS, benzomate, cyhexatin, fenbutatin-oxide, polynactin, chinomethionate, thioquinox, CPCBS, tetradifon, tetrasul, cycloprate, kayacide, kayahope, 3-n-dodecyl-1,4-naphthoquinon-2-yl-acetate, calcium polysulfide

Organophosphorus insecticides (acaricides): fenthion, fenitrothion, diazinon, chlorpyrifos, ESP, vamidothion, phenthoate, dimethoate, formothion, malathion, dipterex, thiometon, phosmet, menazon, dichlorvos, acephate, EPBP, dialifor, methyl parathion, oxydemethon-methyl, ethion, aldicarb, propoxur

Pyrethroid-type insecticides (acaricides): permethrin, cypermethrin, decamethrin, fenvalerate, fenpropathrin, pyrethrin, allethrin, tetramethrine, resmethrin, palleshin, dimethrin, proparthrin, prothrin, 3-phenoxybenzyl-2,2-dichloro-1-(4-ethoxyphenyl)-1-cyclopropanecarboxylate

α -cyano-3-phenoxybenzyl-2,2-dichloro-1-(4-ethoxyphenyl)-1-cyclopropanecarboxylate

(RS)- α -cyano-3-phenoxybenzyl(RS)-2-(4-trichloromethoxyphenyl)-3-methylbutylate

(RS)- α -cyano-3-phenoxybenzyl(RS)-2-(2-chloro-4-trichloromethylanilino)3-methylbutylate

Machine oils

Some examples of the formulations are given below. The carriers, surface-active agents, etc. that are added, however, are not limited to these examples.

Example 13: Emulsifiable concentrate The compound of this invention 10 parts

Alkylphenyl polyoxyethylene 5 parts

Dimethyl formamide 50 parts

Xylene 35 parts

These components are mixed and dissolved and, for use in spraying, the liquid mixture is water-diluted into an emulsion.

Example 14: Wettable powder The compound of this invention 20 parts

Higher alcohol sulfuric ester 5 parts

Diatomaceous earth 70 parts

White carbon 5 parts

These components are mixed and ground to fine powders, which for use in spraying, are water-diluted into a suspension.

Example 15: Dust The compound of this invention 5 parts

Talc 94.6 parts

Silica 0.3 part

Alkylphenyl polyoxyethylene 0.1 part

These are mixed and ground and used as-ground in spraying.

Industrial Applicability:

The tests below show the acaricidal activity of the compounds of this invention.

5

Test 1: Control effect on desert spider mite:

After being sowed in a 6 cm diameter pot, kidney beans sprouted and 7 to 10 days elapsed, their first leaves were inoculated with 30 female adults of desert spider mite resistant to organophosphorus chemicals. In the procedures of the Example 13 above, an emulsifiable concentrate of the compound of the present invention was then water-diluted to an emulsion at a concentration of 500 ppm and was sprayed on the inoculated leaves. Three days after spraying, the adults were removed. Concerning the eggs which the adults had deposited during these 3 days, an examination was conducted on the 11th day to see whether they had grown to adults. Thus the control efficacy of the acaricide was determined. The result are as shown in the following Table 2.

15

The control efficacy was obtained by the following formula.

20

25

30

35

Control efficacy (%)

$$= \frac{\text{No. of adults in n-t* area} - \text{No. of adults in t** area}}{\text{No. of adults in n-t* area}} \times 100$$

40

*n-t = non-treated

**t = treated

45

50

55

Table 2

Compound No.	Control Efficacy (%)
4	100
9	100
10	100
11	100
12	100
13	100
14	100
16	100
18	100
24	100
26	100
27	100
28	100
29	100
30	100
34	100
35	100
41	100
43	100
45	100
46	100
47	100
48	100
49	100

5

10

15

20

25

30

35

40

45

50

55

Compound No.	Control Efficacy (%)
50	100
51	100
52	100
61	100
63	100
96	100
97	100
98	100
99	100
100	100
101	100
102	100
105	100
106	100
110	100
119	100
135	100
136	100
146	100
147	100
148	100
149	100
150	100
151	100
152	100

5

10

15

20

25

30

35

40

45

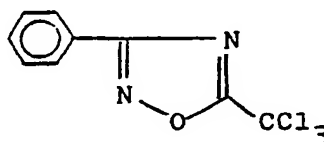
50

55

Compound No.	Control Efficacy (%)
153	100
154	100
155	100
156	100
157	100
158	100
160	100
161	100
162	100
163	100
164	100
165	100
170	100
174	100
180	100
185	100
186	100
190	100
195	100
197	100
198	100
199	100
200	100
201	100
203	100

Compound No.	Control Efficacy (%)
204	100
206	100
207	100
Comparative Compound*	48

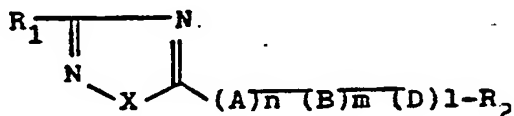
* Comparative Compound :



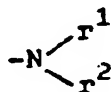
(Canadian Patent No. 713052)

Claims

1. A compound having the formula



wherein R₁ denotes a phenyl radical (which may be substituted by halogen atoms, C₁₋₆ alkyl radicals, C₁₋₆ alkoxy radicals (which may be substituted by C₁₋₆ alkoxy radicals,) C₂₋₆alkynyloxy radicals, amino radicals, nitro radicals, phenyl radicals, phenoxy radicals or C₁₋₆ alkylthio radicals), a five or six membered heterocyclic radical (which may be substituted by halogen atoms C₁₋₆ alkyl radicals), a C₁₋₆ alkyl radical (which may be substituted by aryl radicals) or



(wherein each of r¹ and r² denotes a C₁₋₆ alkyl radical or a phenyl radical)
X denotes oxygen atom or sulfur atom;

A denotes $\begin{array}{c} r^3 \\ | \\ -C- \\ | \\ r^4 \end{array}$, $-O-$, $-S(O)_k-$ or $\begin{array}{c} r^5 \\ | \\ -N- \end{array}$;

B denotes $\begin{array}{c} r^6 \\ | \\ -C- \\ | \\ r^7 \end{array}$, $-O-$, $-S(O)_k'-$ or $\begin{array}{c} r^8 \\ | \\ -N- \end{array}$;

D denotes $\begin{array}{c} r^9 \\ | \\ -C- \\ | \\ r^{10} \end{array}$, $-O-$, $-S(O)_k''-$ or $\begin{array}{c} r^{11} \\ | \\ -N- \end{array}$;

n, m and l each denote 0 or 1,

(wherein r^3 , r^4 , r^6 , r^7 , r^8 and r^{10} , respectively, denotes hydrogen atom, halogen atom, C_{1-6} alkyl radical, the radical expressed by the formula $-Y-r^{12}$ (wherein r^{12} denotes hydrogen atom, cyano radical, C_{1-6} alkyl radical (which may be substituted, C_{1-6} alkoxy carbonyl radical, C_{1-6} alkyl carbamoyl radical, C_{1-6} alkyl thiocarbamoyl radical, phenyl carbamoyl radical (which may be substituted by halogen atom), phenyl thiocarbamoyl radical (which may be substituted by halogen atoms), or C_{1-6} alkyl carbonyl radical (which may be substituted by halogen atom); Y denotes oxygen atom, sulfur

atom, $-SO-$, $-SO_2-$, or the radical expressed by the formula $\begin{array}{c} r^{13} \\ | \\ -N- \end{array}$ (r^{13} : hydrogen atom, C_{1-6} alkyl radical)), or oxo-radicals or the radical expressed by the formula $NO-r^{14}$ where r^3 and r^4 ; r^6 and r^7 or r^8 and r^{10} are combined (wherein r^{14} denotes hydrogen atom, C_{1-6} alkyl radical, C_{1-6} alkyl carbonyl radical, or C_{1-6} alkyl carbamoyl radical) provided, however that r^6 may form a double bond in combination with r^3 or r^8 ; k, k' and k'' denote 0, 1 or 2, respectively;

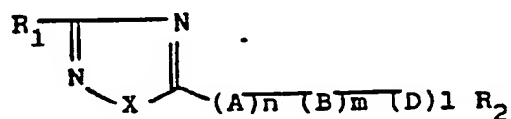
r^5 , r^8 and r^{11} each denote hydrogen atom or C_{1-6} alkyl radical;

When A is $\begin{array}{c} r^5 \\ | \\ -N- \end{array}$, however, m denotes 1. Further, A and B, or B and D do not simultaneously denote oxygen atoms or sulfur atoms.)

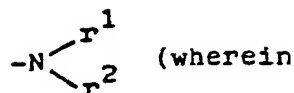
R_2 denotes a phenyl radical (which may be substituted by $-Z-r^{15}$ (wherein r^{15} denotes hydrogen atom, C_{1-6} alkyl radical (which may be substituted by C_{1-6} alkoxy carbonyl radicals or halogen atoms), phenyl radicals, cycloalkyl radicals, the pyridyl radicals (which may be substituted by halogen atoms or C_{1-6} haloalkyl radicals), C_{1-6} alkyl carbamoyl radicals, or C_{1-6} alkyl carbonyl radicals; Z denotes oxygen atom, sulfur atom or

the radicals expressed by the formula $\begin{array}{c} r^{16} \\ | \\ -N- \end{array}$ (wherein r^{16} denotes hydrogen atom or C_{1-6} alkyl radical), C_{1-6} alkyl radicals, halogen atoms or nitro radicals), a cycloalkyl radical, a naphthyl radical, a benzthiazolyl radical (which may be substituted by C_{1-6} alkoxy radicals or a alkylamino radicals or halophenylamino radicals) or C_{1-6} alkyl radical which may be substituted by halogen atoms.

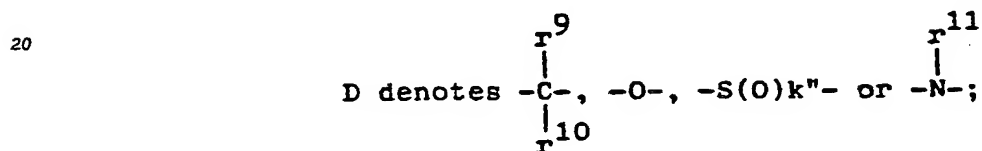
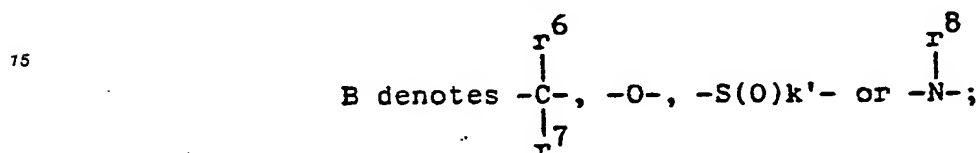
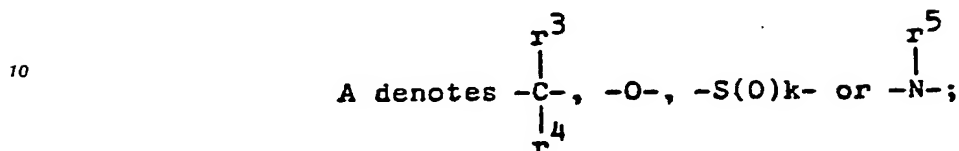
2. An acaricidal composition comprising as active ingredients one or more types of a compound having the formula



wherein R_1 denotes a phenyl radical (which may be substituted by halogen atoms, C_{1-6} alkyl radicals, alkoxy radicals (which may be substituted by C_{1-6} alkoxy radicals), C_{2-6} alkynoxy radicals, amino radicals, nitro radicals, phenyl radicals, phenoxy radicals or C_{1-6} alkylthio radicals), a five or six membered heterocyclic radical (which may be substituted by halogen atoms, or C_{1-6} alkyl radicals), a alkyl radical (which may be substituted by aryl radicals) or



5 each of r^1 and r^2 denotes a C_{1-6} alkyl radical or a phenyl radical)
X denotes oxygen atom or sulfur atom;



25 n, m and l each denote 0 or 1,
(wherein r^3 , r^4 , r^6 , r^7 , r^9 and r^{10} , respectively, denotes hydrogen atom, halogen atom, C_{1-6} alkyl radical, the radical expressed by the formula $-Y-r^{12}$ (wherein r^{12} denotes hydrogen atom, cyano radical, C_{1-6} alkyl radical (which may be substituted by C_{1-6} alkoxy carbonyl radicals,) cycloalkyl radical, C_{1-6} alkoxy carbonyl radical, C_{1-6} alkyl carbamoyl radical, C_{1-6} alkyl thiocarbamoyl radical, phenyl carbamoyl radical (which may be substituted by halogen atom), phenyl thiocarbamoyl radical (which may be substituted by halogen atoms), or C_{1-6} alkyl carbonyl radical (which may be substituted by halogen atoms); Y denotes oxygen atom, sulfur

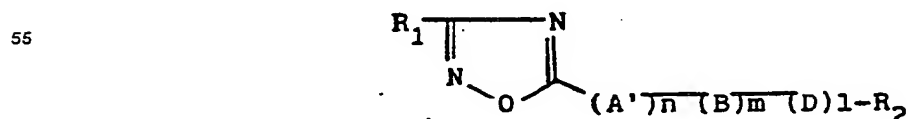
30 atom, $-SO-$, $-SO_2-$, or the radical expressed by the formula $\begin{matrix} r^{13} \\ | \\ -N- \end{matrix}$ (r^{13} : hydrogen atom, C_{1-6} alkyl radical), or oxo-radicals or the radical expressed by the formula $NO-r^{14}$ where r^3 and r^4 ; r^6 and r^7 or r^9 and r^{10} are combined (wherein r^{14} denotes hydrogen atom, C_{1-6} alkyl radical, C_{1-6} alkyl carbonyl radical, or C_{1-6} alkyl carbamoyl radical), provided, however, that r^6 may form a double bond in combination with r^3 or r^9 ; k, k' and k'' denote 0, 1 or 2, respectively;
 r^5 , r^8 or r^{11} each denote hydrogen atom of C_{1-6} alkyl radical;

40 When A is $\begin{matrix} r^5 \\ | \\ -N- \end{matrix}$, however, m denotes 1. Further, A and B, or B and D do not simultaneously denote oxygen atoms or sulfur atoms.)

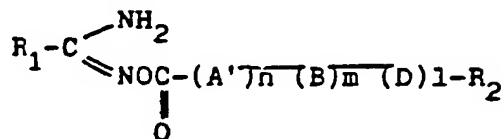
R_2 denotes a phenyl radical (which may be substituted by $-Z-r^{15}$ (wherein r^{15} denotes hydrogen atom, C_{1-6} alkyl radical (which may be substituted by C_{1-6} alkoxy carbonyl radicals or halogen atoms), phenyl radicals, cycloalkyl radicals, the pyridyl radicals (which may be substituted by halogen atoms or C_{1-6} haloalkyl radicals), C_{1-6} alkyl carbamoyl radicals, or C_{1-6} alkyl carbonyl radicals; Z denotes oxygen atom, sulfur atom or

the radicals expressed by the formula $\begin{matrix} r^{16} \\ | \\ -N- \end{matrix}$ (wherein r^{16} denotes hydrogen atom or C_{1-6} alkyl radical), C_{1-6} alkyl radicals, halogen atoms or nitro radicals), a cycloalkyl radical, a naphthyl radical, a benzthiazolyl radical (which may be substituted by C_{1-6} alkoxy radicals or C_{1-6} alkylamino radicals or halophenylamino radicals), or a C_{1-6} alkyl radical which may be substituted by halogen atoms.

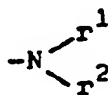
3. A process for the production of a compound having the formula



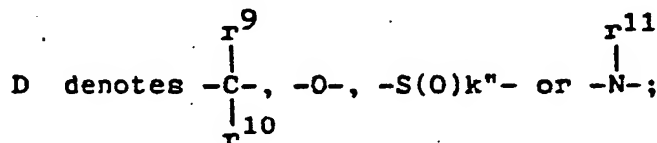
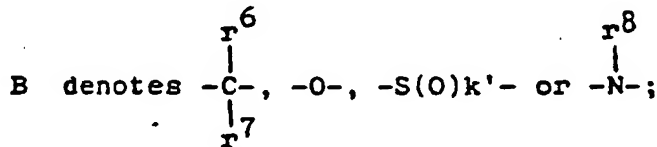
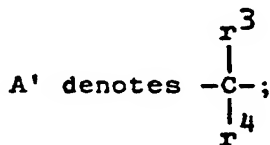
which comprises heating and cyclizing a compound having the formula



wherein R_1 denotes a phenyl radical (which may be substituted by halogen atoms, C_{1-6} alkyl radicals, C_{1-6} alkoxy radicals (which may be substituted by C_{1-6} alkoxy radicals,) C_{2-6} alkynyloxy radicals, amino radicals, nitro radicals, phenyl radicals, phenoxy radicals or C_{1-6} alkylthio radicals), a five or six membered heterocyclic radical (which may be substituted by halogen atoms, C_{1-6} alkyl radicals), a C_{1-6} alkyl radical (which may be substituted by aryl radicals) or



(wherein each of r^1 and r^2 denotes a C_{1-6} alkyl radical or a phenyl radical)



n , m and 1 each denote 0 or 1,

(wherein r^3 , r^4 , r^6 , r^7 , r^9 and r^{10} , respectively, denotes hydrogen atom, halogen atom, C_{1-6} alkyl radical, the radical expressed by the formula $-Y-r^{12}$ (wherein r^{12} denotes hydrogen atom, cyano radical, C_{1-6} alkyl radical (which may be substituted by C_{1-6} alkoxy carbonyl radicals,) cycloalkyl radical, C_{1-6} alkoxy carbonyl radical, C_{1-6} alkyl carbamoyl radical, C_{1-6} alkyl thiocarbamoyl radical, phenyl carbamoyl radical (which may be substituted by halogen atom), phenyl thiocarbamoyl radical (which may be substituted by halogen atoms), or C_{1-6} alkyl carbonyl radical (which may be substituted by halogen atoms); Y denotes oxygen atom, sulfur

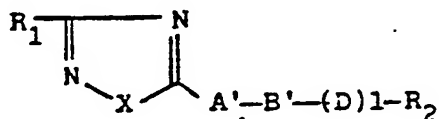
atom, $-SO-$, $-SO_2-$, or the radical expressed by the formula $-N-\begin{array}{c} r^{13} \\ | \end{array}$ (r^{13} : hydrogen atom, C_{1-6} alkyl radical)), or oxo-radicals or the radical expressed by the formula $NO-r^{14}$ where r^3 and r^4 ; r^6 and r^7 or r^9 and r^{10} are combined (wherein r^{14} denotes hydrogen atom, C_{1-6} alkyl radical, C_{1-6} alkyl carbonyl radical, or C_{1-6} alkyl carbamoyl radical), provided, however, that r^6 may form a double bond in combination with r^3 or r^7 ; k' and k'' denote 0, 1 or 2, respectively; r^8 and r^{11} each denote hydrogen atom of C_{1-6} alkyl radical; provided, however, that B and D do not simultaneously denote oxygen atoms or sulfur atoms.)

R_2 denotes a phenyl radical (which may be substituted by $-Z-r^{15}$ (wherein r^{15} denotes hydrogen atom, C_{1-6} alkyl radical (which may be substituted by C_{1-6} alkoxy carbonyl radicals or halogen atoms), phenyl radicals, cycloalkyl radicals, the pyridyl radicals (which may be substituted by halogen atoms or C_{1-6} haloalkyl radicals), C_{1-6} alkyl carbamoyl radicals, or C_{1-6} alkyl carbonyl radicals; Z denotes oxygen atom, sulfur atom or

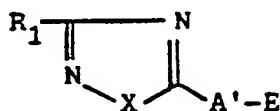
the radicals expressed by the formula $-N-\begin{array}{c} r^{16} \\ | \end{array}$ (wherein r^{16} denotes hydrogen atom of C_{1-6} alkyl radical),

C₁₋₆ alkyl radicals, halogen atoms, or nitro radicals), a cycloalkyl radical, a naphthyl radical, a benzthiazolyl radical (which may be substituted by C₁₋₆ alkoxy radicals or a C₁₋₆ alkylamino radicals or halophenylamino radicals), or C₁₋₆ alkyl radical which may be substituted by halogen atoms.

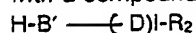
4. A process for the production of a compound having the formula



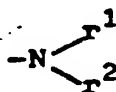
which comprises reacting a compound having the formula



with a compound having the formula

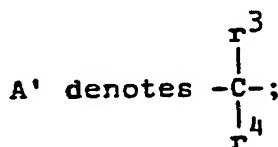


wherein R₁ denotes a phenyl radical (which may be substituted by halogen atoms, C₁₋₆ alkyl radicals, C₁₋₆ alkoxy radicals (which may be substituted by C₁₋₆ alkoxy radicals,) C₂₋₆alkynyloxy radicals, amino radicals, nitro radicals, phenyl radicals, phenoxy radicals or C₁₋₆ alkylthio radicals), a five or six membered heterocyclic radical (which may be substituted by halogen atoms or C₁₋₆ alkyl radicals), a C₁₋₆ alkyl radical (which may be substituted by aryl radicals) or

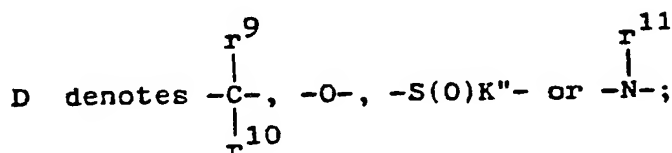
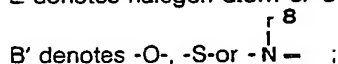


(wherein each of r¹ and r² denotes a C₁₋₆ alkyl radical or a phenyl radical)

X denotes oxygen atom or sulfur atom;



E denotes halogen atom or C₁₋₆ alkoxy radical;



I denotes 0 or 1,

(wherein r⁹ and r¹⁰, respectively denotes hydrogen atom, halogen atom, C₁₋₆ alkyl radical, the radical expressed by the formula -Y-r¹² (wherein r¹² denotes hydrogen atom, cyano radical, C₁₋₆ alkyl radical (which may be substituted by C₁₋₆alkoxycarbonyl radicals,) cycloalkyl radical, C₁₋₆alkoxycarbonyl radical, C₁₋₆ alkylcarbamoyl radical, C₁₋₆alkylthiocarbamoyl radical, phenylcarbamoyl radical (which may be substituted by halogen atom), phenylthiocarbamoyl radical (which may be substituted by halogen atoms), or C₁.

alkylcarbonyl radical (which may be substituted by halogen atoms); Y denotes oxygen atom, sulfur atom, -SO-, -SO₂-, or the

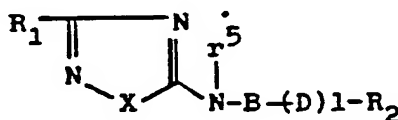
radical expressed by the formula $\text{-}\overset{\text{r}^{13}}{\text{N}}\text{-}$ (r¹³: hydrogen atom, C₁₋₆ alkyl radical), or oxo-radicals or the radical expressed by the formula NO^{r¹⁴} where r³ and r⁴ or r³ and r¹⁰ are combined (wherein r¹⁴ denotes hydrogen atom, C₁₋₆ alkyl radical, C₁₋₆ alkylcarbonyl radical, or C₁₋₆ alkylcarbonyl radical); K^{*} denotes 0, 1 or 2, r³ and r¹¹ each denote hydrogen atom or C₁₋₆ alkyl radical; provided, however, that B and D do not simultaneously denote oxygen atoms or sulfur atoms.)

R₂ denotes a phenyl radical (which may be substituted by -Z-r¹⁵ (wherein r¹⁵ denotes hydrogen atom, C₁₋₆ alkyl radical (which may be substituted by C₁₋₆ alkoxy carbonyl radicals or halogen atoms), phenyl radicals, cycloalkyl radicals, the pyridyl radicals (which may be substituted by halogen atoms or C₁₋₆ haloalkyl radicals), C₁₋₆ alkylcarbonyl radicals, or C₁₋₆ alkylcarbonyl radicals; Z denotes oxygen atom, sulfur atom or

the radicals expressed by the formula $\text{-}\overset{\text{r}^{16}}{\text{N}}\text{-}$ (wherein r¹⁶ denotes hydrogen atom of C₁₋₆ alkyl radical), C₁₋₆ alkyl radicals, halogen atoms or nitro radicals), a cycloalkyl radical, a naphthyl radical, a benzthiazolyl radical (which may be substituted by C₁₋₆ alkoxy radicals or a C₁₋₆ alkylamino radicals or halophenylamino radicals), or C₁₋₆ alkyl radical which may be substituted by halogen atoms.

5. A process for the production of a compound having the formula

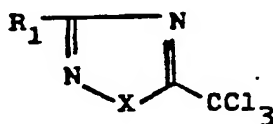
20



25

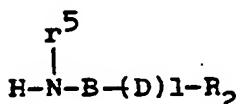
which comprises reacting a compound having the formula

30



with a compound having the formula

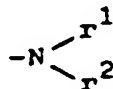
35



40

wherein R₁ denotes a phenyl radical (which may be substituted by halogen atoms, C₁₋₆ alkyl radicals, C₁₋₆ alkoxy radicals (which may be substituted by C₁₋₆ alkoxy radicals, C₂₋₆ alkynoxy radicals, amino radicals, nitro radicals, phenyl radicals, phenoxy radicals or C₁₋₆ alkylthio radicals), a five or six membered heterocyclic radical (which may be substituted by halogen atoms C₁₋₆ alkyl radicals), a C₁₋₆ alkyl radical (which may be substituted by aryl radicals or

45



50

(wherein each of r¹ and r² denotes a C₁₋₆ alkyl radical or a phenyl radical)
X denotes oxygen atom or sulfur atom;

55

B denotes $\begin{array}{c} r^6 \\ | \\ -C- \\ | \\ r^7 \end{array}$, $-O-$, $-S(O)k'-$ or $\begin{array}{c} r^8 \\ | \\ -N- \end{array}$;

D denotes $\begin{array}{c} \text{r}^9 \\ | \\ -\text{C}- \\ | \\ \text{r}^{10} \end{array}$, $-\text{O}-$, $-\text{S}(\text{O})\text{k}^n-$ or $\begin{array}{c} \text{r}^{11} \\ | \\ -\text{N}- \end{array}$;

l denotes 0 or 1,

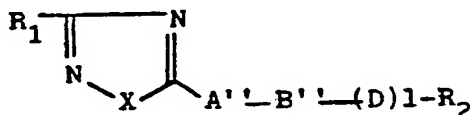
(wherein r^6 , r^7 , r^9 and r^{10} , respectively, denotes hydrogen atom, halogen atom, C_{1-6} alkyl radical, the radical expressed by the formula $-Y-r^{12}$ (wherein r^{12} denotes hydrogen atom, cyano radical, C_{1-6} alkyl radical (which may be substituted by C_{1-6} alkoxycarbonyl radicals), cycoalkyl radical, C_{1-6} alkoxycarbonyl radical, C_{1-6} alkylcarbamoyl radical, C_{1-6} alkylthiocarbamoyl radical, phenylcarbamoyl radical (which may be substituted by halogen atom), phenylthiocarbamoyl radical (which may be substituted by halogen atoms), or C_{1-6} alkylcarbonyl radical (which may be substituted by halogen atoms); Y denotes oxygen atom, sulfur atom, $-SO-$, $-SO_2-$, or the

radical expressed by the formula $\cdot\text{N}^{\text{r}13}$ (r^{13} : hydrogen atom, C_{1-6} alkyl radical)), or oxo-radicals or the radical expressed by the formula NOr^{14} where r^2 and r^7 or r^9 and r^{10} are combined (wherein r^{14} denotes hydrogen atom, C_{1-6} alkyl radical, C_{1-6} alkylcarbonyl radical, or C_{1-6} alkylcarbamoyl radical), provided, however, that r^6 may form a double bond in combination with r^9 ; k' and k'' denote 0, 1 or 2, respectively; r^5 , r^8 and r^{11} each denote hydrogen atom of C_{1-6} alkyl radical; provided, however, that B and D do not simultaneously denote oxygen atoms, or sulfur atoms.)

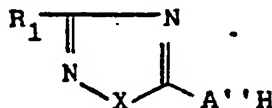
R₂ denotes a phenyl radical (which may be substituted by -Z-r¹⁵ (wherein r¹⁵ denotes hydrogen atom, C₁₋₆ alkyl radical (which may be substituted by C₁₋₆ alkoxy carbonyl radicals or halogen atoms), phenyl radicals, cycloalkyl radicals, the pyridyl radicals (which may be substituted by halogen atoms or C₁₋₆ haloalkyl radicals), C₁₋₆ alkyl carbamoyl radicals, or C₁₋₆ alkyl carbonyl radicals; Z denotes oxygen atom, sulfur atom or

the radicals expressed by the formula - N - ¹⁶ (wherein r¹⁶ denotes hydrogen atom or C₁₋₆ alkyl radical), C₁₋₆ alkyl radicals, halogen atoms or nitro radicals), a cycloalkyl radical, a naphthyl radical, a benzthiazolyl radical (which may be substituted by C₁₋₆ alkoxy radicals or C₁₋₆ alkylamino radicals or halophenylamino radicals), or a C₁₋₆ alkyl radical which may be substituted by halogen atoms.

6. A process for the production of a compound having the formula



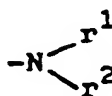
which comprises reacting a compound having the formula



with a compound having the formula

$$\text{Hal-B}^* \longrightarrow (\text{D})\text{I-R}_2$$

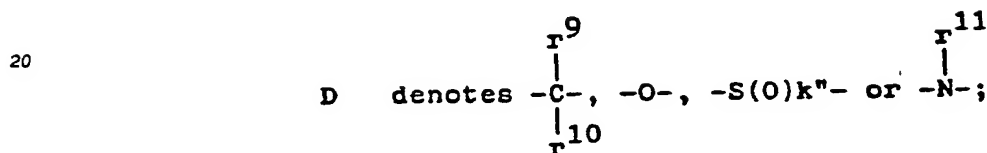
wherein R₁ denotes a phenyl radical (which may be substituted by halogen atoms, C₁₋₆ alkyl radicals, C₁₋₆ alkoxy radicals (which may be substituted by C₁₋₆ alkoxy radicals), C₂₋₆ alkenyloxy radicals, amino radicals, nitro radicals, phenyl radicals, phenoxy radicals or C₁₋₆ alkylthio radicals), a five or six membered heterocyclic radical (which may be substituted by halogen atoms C₁₋₆ alkyl radicals), a C₁₋₆ alkyl radical - (which may be substituted by aryl radicals) or



5 (wherein each of r^1 and r^2 denotes a C_{1-8} alkyl radical or a phenyl radical)
 X denotes oxygen atom or sulfur atom;
 A' denotes -O- or -S-;



15 Hal denotes halogen atom;



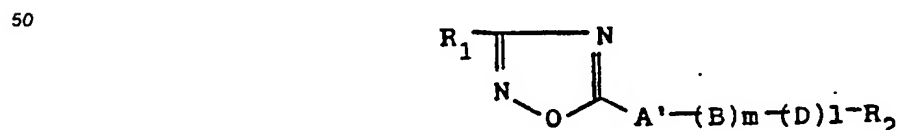
25 I denotes 0 or 1,
 (wherein r^6 , r^7 , r^9 and r^{10} , respectively, denotes hydrogen atom, halogen atom, C_{1-8} alkyl radical, the radical expressed by the formula $-\text{Y}-\text{r}^{12}$ (wherein r^{12} denotes hydrogen atom, cyano radical, C_{1-8} alkyl radical (which may be substituted by C_{1-8} alkoxy carbonyl radicals,) cycloalkyl radical, C_{1-8} alkoxy carbonyl radical, C_{1-8} alkyl carbamoyl radical, C_{1-8} alkyl thiocarbamoyl radical, phenyl carbamoyl radical (which may be substituted by halogen atom), phenyl thiocarbamoyl radical (which may be substituted by halogen atoms), or C_{1-8} alkyl carbonyl radical (which may be substituted by halogen atoms); Y denotes oxygen atom, sulfur atom, -SO-, -SO₂-, or the

35 radical expressed by the formula $-\text{N}-\begin{array}{c} \text{r}^{13} \\ | \end{array}$ (r^{13} : hydrogen atom, C_{1-8} alkyl radical), or oxo-radicals or the radical expressed by the formula $\text{NO}-\text{r}^{14}$ (wherein r^{14} denotes hydrogen atom, C_{1-8} alkyl radical, C_{1-8} alkyl carbonyl radical, or C_{1-8} alkyl carbamoyl radical), provided, however, that r^6 may form a double bond in combination with r^9 ; k'' denotes 0, 1 or 2;
 r^{11} denotes hydrogen atom or C_{1-8} alkyl radical;

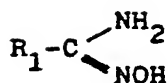
40 R_2 denotes a phenyl radical (which may be substituted by $-\text{Z}-\text{r}^{15}$ (wherein r^{15} denotes hydrogen atom, C_{1-8} alkyl radical (which may be substituted by C_{1-8} alkoxy carbonyl radicals or halogen atoms), phenyl radicals, cycloalkyl radicals, the pyridyl radicals (which may be substituted by halogen atoms or C_{1-8} haloalkyl radicals), C_{1-8} alkyl carbamoyl radicals, or C_{1-8} alkyl carbonyl radicals; Z denotes oxygen atom, sulfur atom or

45 the radicals expressed by the formula $-\text{N}-\begin{array}{c} \text{r}^{16} \\ | \end{array}$ (wherein r^{16} denotes hydrogen atom or C_{1-8} alkyl radical), C_{1-8} alkyl radicals, halogen atoms or nitro radicals), a cycloalkyl radical, a naphthyl radical, a benzthiazolyl radical (which may be substituted by C_{1-8} alkoxy radicals or C_{1-8} alkylamino radicals or halophenylamino radicals) or a C_{1-8} alkyl radical which may be substituted by halogen atoms.

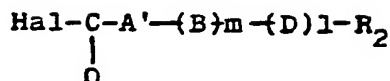
7. A process for the production of a compound having the formula



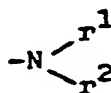
55 which comprises reacting a compound having the formula



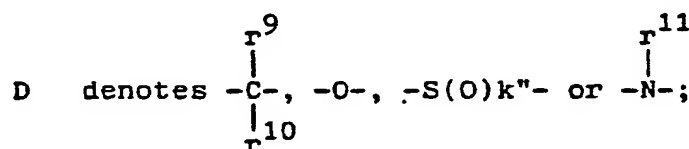
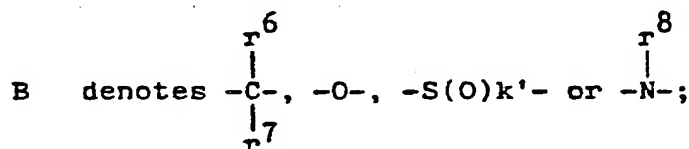
with a compound having the formula



wherein R_1 denotes a phenyl radical (which may be substituted by halogen atoms, C_{1-6} alkyl radicals, C_{1-6} alkoxy radicals (which may be substituted by C_{1-6} alkoxy radicals,) C_{2-6} alkynyloxy radicals, amino radicals, nitro radicals, phenyl radicals, phenoxy radicals or C_{1-6} alkylthio radicals), a five or six membered heterocyclic radical (which may be substituted aryl radicals) or



(wherein each of r^1 and r^2 denotes a C_{1-6} alkyl radical or a phenyl radical)
Hal denotes halogen atom;



m and l each denote 0 or 1,

(wherein r^3 , r^4 , r^6 , r^7 , r^9 and r^{10} , respectively, denotes hydrogen atom, halogen atom, C_{1-6} alkyl radical, the radical expressed by the formula $-Y-r^{12}$ (wherein r^{12} denotes hydrogen atom, cyano radical, C_{1-6} alkyl radical (which may be substituted by C_{1-6} alkoxycarbonyl radicals,) cycloalkyl radical, C_{1-6} alkoxycarbonyl radical, C_{1-6} alkylcarbamoyl radical, C_{1-6} alkylthiocarbamoyl radical, phenylcarbamoyl radical (which may be substituted by halogen atom), phenylthiocarbamoyl radical (which may be substituted by halogen atoms), or C_{1-6} alkylcarbonyl radical (which may be substituted by halogen atoms); Y denotes oxygen atom, sulfur atom, $-SO-$, $-SO_2-$, or the radical expressed by the formula

$\begin{array}{c} r^{13} \\ | \\ -N- \end{array}$ (r^{13} : hydrogen atom, C_{1-6} alkyl radical), or oxo-radicals or the radical expressed by the formula $NO-r^{14}$ (wherein r^{14} denotes hydrogen atom, C_{1-6} alkyl radical, C_{1-6} alkylcarbamoyl radical, or C_{1-6} alkylcarbamoyl radical), provided, however, that r^6 may form a double bond in combination with r^3 or r^9 ; k' and k'' denote 0, 1 or 2, respectively;

r^8 or r^{11} each denote hydrogen atom or C_{1-6} alkyl radical; provided, however, that B and D do not simultaneously denote oxygen atoms or sulfur atoms.)

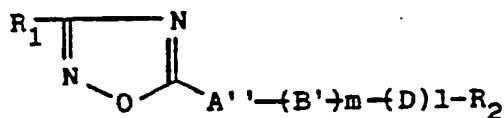
R_2 denotes a phenyl radical (which may be substituted by $-Z-r^{15}$ (wherein r^{15} denotes hydrogen atom, C_{1-6} alkyl radical (which may be substituted by C_{1-6} alkoxycarbonyl radicals or halogen atoms), phenyl radicals,

cycloalkyl radicals, the pyridyl radicals (which may be substituted by halogen atoms or C₁₋₆ haloalkyl radicals), C₁₋₆ alkylcarbamoyl radicals, or C₁₋₆ alkylcarbonyl radicals; Z denotes oxygen atom, sulfur atom or

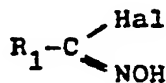
the radicals expressed by the formula $\text{-}\overset{\text{r}^{16}}{\text{N}}\cdot$ (wherein r¹⁶ denotes hydrogen atom or C₁₋₆ alkyl radical),

C₁₋₆ alkyl radicals, halogen atoms or nitro radicals), a cycloalkyl radical, a naphthyl radical, a benzthiazolyl radical (which may be substituted by C₁₋₆ alkoxy radicals or C₁₋₆ alkylamino radicals or halophenylamino radicals), or a C₁₋₆ alkyl radical which may be substituted by halogen atoms.

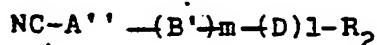
8. A process for the production of a compound having the formula



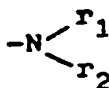
which comprises reacting a compound having the formula



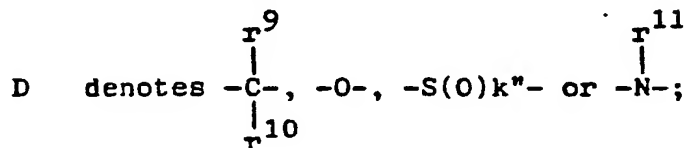
with a compound having the formula



wherein R₁ denotes a phenyl radical (which may be substituted by halogen atoms, C₁₋₆ alkyl radicals, C₁₋₆ alkoxy radicals (which may be substituted by C₁₋₆ alkoxy radicals,) C₂₋₆ alkynoxy radicals, amino radicals, nitro radicals, phenyl radicals, phenoxy radicals or C₁₋₆ alkylthio radicals), a five or six membered heterocyclic radical (which may be substituted by halogen atoms C₁₋₆ alkyl radicals) a C₁₋₆ alkyl radical (which may be substituted by aryl radicals) or



(wherein each of r¹ and r² denotes a C₁₋₆ alkyl radical or a phenyl radical)
A' denotes -O- or -S-;



m and l each denote 0 or 1,

(wherein r⁶, r⁷, r⁹ and r¹⁰, respectively, denotes hydrogen atom, halogen atom, C₁₋₆ alkyl radical, the radical expressed by the formula -Y-r¹² (wherein r¹² denotes hydrogen atom, cyano radical, the C₁₋₆ alkyl radical (which may be substituted by C₁₋₆ alkoxycarbonyl radicals,) cycloalkyl radical, C₁₋₆ alkoxycarbonyl radical, C₁₋₆ alkylcarbamoyl radical, C₁₋₆ alkylthiocarbamoyl radical, phenylcarbamoyl radical (which may be substituted by halogen atom), phenylthiocarbamoyl radical (which may be substituted by halogen atoms), or C₁₋

alkylcarbonyl radical (which may be substituted by halogen atoms); Y denotes oxygen atom, sulfur atom, -SO-, -SO₂-, or the

radical expressed by the formula $\cdot\text{N}-\text{r}^{13}$ (r¹³: hydrogen atom, C₁₋₆ alkyl radical), or oxo-radicals or the radical expressed by the formula NO^r₁₄ (wherein r¹⁴ denotes hydrogen atom, C₁₋₆ alkyl radical, C₁₋₆ alkylcarbonyl radical, or C₁₋₆ alkylcarbamoyl radical), provided, however, that r⁶ may form a double bond in combination with r³; k" denote 0, 1 or 2;

r¹¹ denotes hydrogen atom or C₁₋₆ alkyl radical;

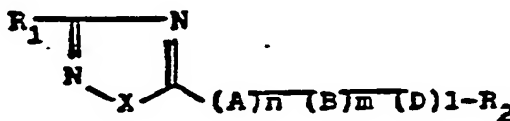
R₂ denotes a phenyl radical (which may be substituted by -Z-r¹⁵ (wherein r¹⁵ denotes hydrogen atom, C₁₋₆ alkyl radical (which may be substituted by C₁₋₆ alkoxy carbonyl radicals or halogen atoms), phenyl radicals, cycloalkyl radicals, the pyridyl radicals (which may be substituted by halogen atoms or C₁₋₆ haloalkyl radicals), C₁₋₆ alkylcarbamoyl radicals, or C₁₋₆ alkylcarbonyl radicals; Z denotes oxygen atom, sulfur atom or

the radicals expressed by the formula $\cdot\text{N}-\text{r}^{16}$ (wherein r¹⁶ denotes hydrogen atom or C₁₋₆ alkyl radical), C₁₋₆ alkyl radicals, halogen atoms or nitro radicals), a cycloalkyl radical, a naphthyl radical, a benzthiazolyl radical (which may be substituted by C₁₋₆ alkoxy radicals or a C₁₋₆ alkylamino radicals or halophenylamino radicals), or C₁₋₆ alkyl radical which may be substituted by halogen atoms).

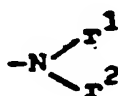
9. A process for controlling pests such as mites, characterized in that a compound or a composition as defined in claim 1 or 2 is used.

Claims for the following contracting state: GR

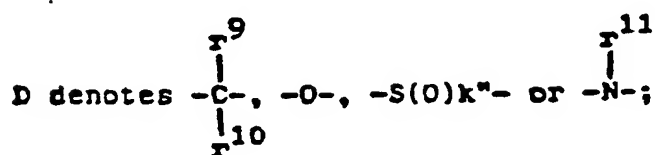
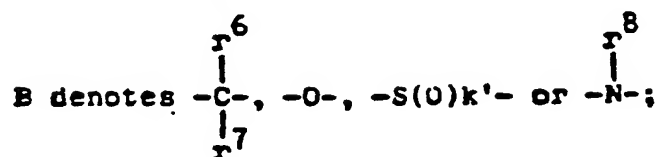
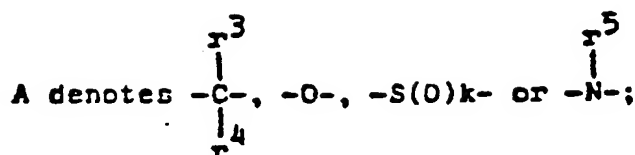
1. A process for the preparation of an oxadiazole or thiadiazole derivative, characterized in that a compound having the formula



wherein R₁ denotes a phenyl radical (which may be substituted by halogen atoms, C₁₋₆ alkyl radicals, C₁₋₆ alkoxy radicals (which may be substituted by C₁₋₆ alkoxy radicals), C₂₋₆ alkynoxy radicals, amino radicals, nitro radicals, phenyl radicals, phenoxy radicals or C₁₋₆ alkylthio radicals), a five or six membered heterocyclic radical (which may be substituted by halogen atoms C₁₋₆ alkyl radicals), a C₁₋₆ alkyl radical (which may be substituted by aryl radicals) or



(wherein each of r¹ and r² denotes a C₁₋₆ alkyl radical or a phenyl radical)
X denotes oxygen atom or sulfur atom;



n, m and l each denote 0 or 1,

20 (wherein r^3 , r^4 , r^5 , r^7 , r^9 and r^{10} , respectively, denotes hydrogen atom, halogen atom, C_{1-6} alkyl radical, the radical expressed by the formula $-Y-r^{12}$ (wherein r^{12} denotes hydrogen atom, cyano radical, C_{1-6} alkyl radical (which may be substituted by C_{1-6} alkoxy carbonyl radicals,) cycloalkyl radical, C_{1-6} alkoxy carbonyl radical, C_{1-6} alkyl carbamoyl radical, C_{1-6} alkylthiocarbamoyl radical, phenyl carbamoyl radical (which may be substituted by halogen atom), phenylthiocarbamoyl radical (which may be substituted by halogen atoms), or C_{1-6} alkyl carbonyl radical (which may be substituted by halogen atoms); Y denotes oxygen atom, sulfur

25 atom, $-SO-$, $-SO_2-$, or the radical expressed by the formula $-N-\begin{array}{c} r^{13} \end{array}$ (r^{13} : hydrogen atom, C_{1-6} alkyl radical)), or oxo-radicals or the radical expressed by the formula $NO-r^{14}$ where r^3 and r^4 ; r^6 and r^7 or r^9 and r^{10} are combined (wherein r^{14} denotes hydrogen atom, C_{1-6} alkyl radical, C_{1-6} alkyl carbonyl radical, or C_{1-6} alkyl carbamoyl radical), provided, however, that r^6 may form a double bond in combination with r^3 or r^9 ; k, k' and k'' denote 0, 1 or 2, respectively;

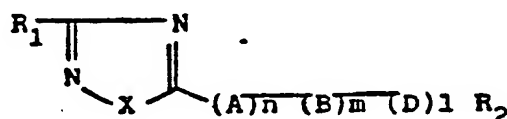
r^5 , r^8 and r^{11} each denote hydrogen atom or C_{1-6} alkyl radical;

35 When A is $\begin{array}{c} r^5 \\ | \\ -N- \end{array}$, however, m denotes 1. Further, A and B, or B and D do not simultaneously denote oxygen atoms or sulfur atoms.)

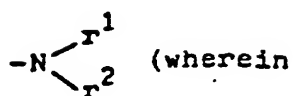
R₂ denotes a phenyl radical (which may be substituted by $-Z-r^{15}$ (wherein r^{15} denotes hydrogen atom, C_{1-6} alkyl radical (which may be substituted by C_{1-6} alkoxy carbonyl radicals or halogen atoms), phenyl radicals, cycloalkyl radicals, the pyridyl radicals (which may be substituted by halogen atoms or C_{1-6} haloalkyl radicals), C_{1-6} alkyl carbamoyl radicals, or C_{1-6} alkyl carbonyl radicals; Z denotes oxygen atom, sulfur atom or

40 the radicals expressed by the formula $-N-\begin{array}{c} r^{16} \end{array}$ (wherein r^{16} denotes hydrogen atom or C_{1-6} alkyl radical), C_{1-6} alkyl radicals, halogen atoms or nitro radicals), a cycloalkyl radical, a naphthyl radical, a benzthiazolyl radical (which may be substituted by C_{1-6} alkoxy radicals or a alkylamino radicals or halophenylamino radicals) or C_{1-6} alkyl radical which may be substituted by halogen atoms.

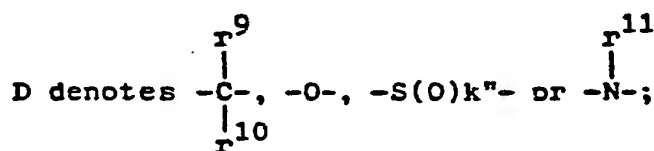
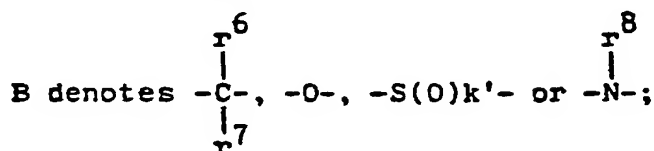
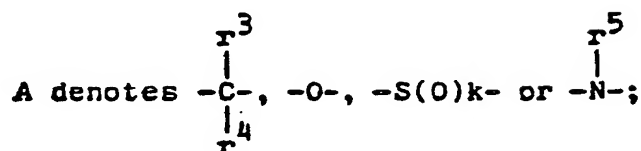
45 2. An acaricidal composition comprising as active ingredients one or more types of a compound having the formula



55 wherein R₁ denotes a phenyl radical (which may be substituted by halogen atoms, C_{1-6} alkyl radicals, alkoxy radicals (which may be substituted by C_{1-6} alkoxy radicals,) C_{2-6} alkynoxy radicals, amino radicals, nitro radicals, phenyl radicals, phenoxy radicals or C_{1-6} alkylthio radicals), a five or six membered heterocyclic radical (which may be substituted by halogen atoms, or C_{1-6} alkyl radicals), a alkyl radical (which may be substituted by aryl radicals) or



each of r^1 and r^2 denotes a C_{1-6} alkyl radical or a phenyl radical)
X denotes oxygen atom or sulfur atom;



n, m and l each denote 0 or 1,

(wherein $\text{r}^3, \text{r}^4, \text{r}^6, \text{r}^7, \text{r}^9$ and r^{10} , respectively, denotes hydrogen atom, halogen atom, C_{1-6} alkyl radical, the radical expressed by the formula $-\text{Y}-\text{r}^{12}$ (wherein r^{12} denotes hydrogen atom, cyano radical, C_{1-6} alkyl radical (which may be substituted by C_{1-6} alkoxy carbonyl radicals,) cycloalkyl radical, C_{1-6} alkoxy carbonyl radical, C_{1-6} alkyl carbamoyl radical, C_{1-6} alkyl thiocarbamoyl radical, phenyl carbamoyl radical (which may be substituted by halogen atom), phenyl thiocarbamoyl radical (which may be substituted by halogen atoms), or C_{1-6} alkyl carbonyl radical (which may be substituted by halogen atoms); Y denotes oxygen atom, sulfur

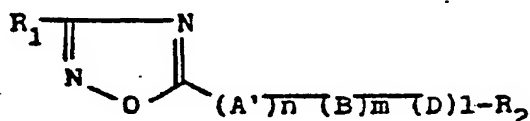
atom, $-\text{SO}-$, $-\text{SO}_2-$, or the radical expressed by the formula $-\text{N}-$ (r^{13} : hydrogen atom, C_{1-6} alkyl radical), or oxo-radicals or the radical expressed by the formula NOr^{14} where r^3 and r^4 ; r^6 and r^7 or r^9 and r^{10} are combined (wherein r^{14} denotes hydrogen atom, C_{1-6} alkyl radical, C_{1-6} alkyl carbonyl radical, or C_{1-6} alkyl carbamoyl radical), provided, however, that r^6 may form a double bond in combination with r^3 or r^9 ; k, k' and k'' denote 0, 1 or 2, respectively;
 r^5, r^8 or r^{11} each denote hydrogen atom of C_{1-6} alkyl radical;

When A is $-\text{N}-$, however, m denotes 1. Further, A and B, or B and D do not simultaneously denote oxygen atoms or sulfur atoms.)

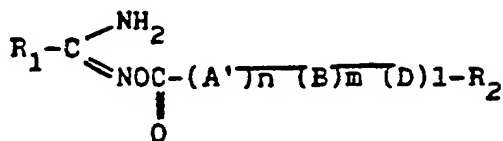
R_2 denotes a phenyl radical (which may be substituted by $-\text{Z}-\text{r}^{15}$ (wherein r^{15} denotes hydrogen atom, C_{1-6} alkyl radical (which may be substituted by C_{1-6} alkoxy carbonyl radicals or halogen atoms), phenyl radicals, cycloalkyl radicals, the pyridyl radicals (which may be substituted by halogen atoms or C_{1-6} haloalkyl radicals), C_{1-6} alkyl carbamoyl radicals, or C_{1-6} alkyl carbonyl radicals; Z denotes oxygen atom, sulfur atom or

the radicals expressed by the formula $-\text{N}-$ (wherein r^{16} denotes hydrogen atom or C_{1-6} alkyl radical), C_{1-6} alkyl radicals, halogen atoms or nitro radicals), a cycloalkyl radical, a naphthyl radical, a benzthiazolyl radical (which may be substituted by C_{1-6} alkoxy radicals or C_{1-6} alkylamino radicals or halophenylamino radicals), or a C_{1-6} alkyl radical which may be substituted by halogen atoms.

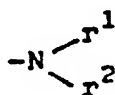
3. A process for the production of a compound having the formula



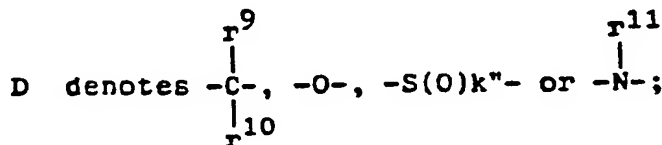
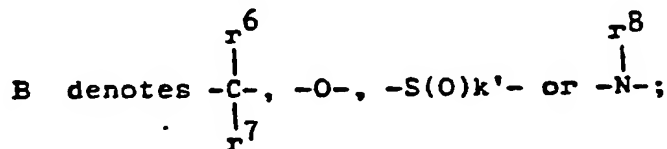
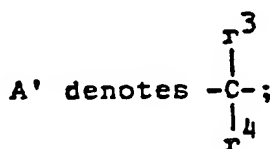
which comprises heating and cyclizing a compound having the formula



wherein R_1 denotes a phenyl radical (which may be substituted by halogen atoms, C_{1-6} alkyl radicals, C_{1-6} alkoxy radicals (which may be substituted by C_{1-6} alkoxy radicals), C_{2-6} alkynyoxy radicals, amino radicals, nitro radicals, phenyl radicals, phenoxy radicals or C_{1-6} alkylthio radicals), a five or six membered heterocyclic radical (which may be substituted by halogen atoms, C_{1-6} alkyl radicals), a C_{1-6} alkyl radical (which may be substituted by aryl radicals) or



(wherein each of r^1 and r^2 denotes a C_{1-6} alkyl radical or a phenyl radical)



n , m and 1 each denote 0 or 1,

(wherein r^3 , r^4 , r^6 , r^7 , r^9 and r^{10} , respectively, denotes hydrogen atom, halogen atom, C_{1-6} alkyl radical, the radical expressed by the formula $-\text{Y}-\text{r}^{12}$ (wherein r^{12} denotes hydrogen atom, cyano radical, C_{1-6} alkyl radical (which may be substituted by C_{1-6} alkoxycarbonyl radicals), cycloalkyl radical, C_{1-6} alkoxycarbonyl radical, C_{1-6} alkylcarbamoyl radical, C_{1-6} alkylthiocarbamoyl radical, phenylcarbamoyl radical (which may be substituted by halogen atom), phenylthiocarbamoyl radical (which may be substituted by halogen atoms), or C_{1-6} alkylcarbonyl radical (which may be substituted by halogen atoms); Y denotes oxygen atom, sulfur

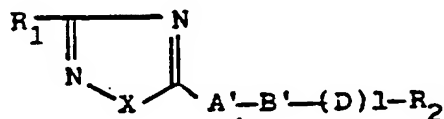
atom, $-\text{SO}-$, $-\text{SO}_2-$, or the radical expressed by the formula $-\overset{\text{r}^{13}}{\text{N}}-$ (r^{13} : hydrogen atom, C_{1-6} alkyl radical)), or oxo-radicals or the radical expressed by the formula NOr^{14} where r^3 and r^4 ; r^6 and r^7 or r^8 and r^{10} are combined (wherein r^{14} denotes hydrogen atom, C_{1-6} alkyl radical, C_{1-6} alkylcarbonyl radical, or C_{1-6} alkylcarbamoyl radical), provided, however, that r^6 may form a double bond in combination with r^3 or r^8 ; k' and k'' denote 0, 1 or 2, respectively; r^8 and r^{11} each denote hydrogen atom of C_{1-6} alkyl radical; provided, however, that B and D do not simultaneously denote oxygen atoms or sulfur atoms.)

R_2 denotes a phenyl radical (which may be substituted by $-\text{Z}-\text{r}^{15}$ (wherein r^{15} denotes hydrogen atom, C_{1-6} alkyl radical (which may be substituted by C_{1-6} alkoxycarbonyl radicals or halogen atoms), phenyl radicals, cycloalkyl radicals, the pyridyl radicals (which may be substituted by halogen atoms or C_{1-6} haloalkyl radicals), C_{1-6} alkylcarbamoyl radicals, or C_{1-6} alkylcarbonyl radicals; Z denotes oxygen atom, sulfur atom or

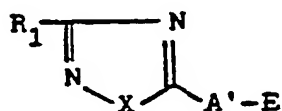
the radicals expressed by the formula $-\overset{\text{r}^{16}}{\text{N}}-$ (wherein r^{16} denotes hydrogen atom of C_{1-6} alkyl radical),

C₁₋₆ alkyl radicals, halogen atoms, or nitro radicals), a cycloalkyl radical, a naphthyl radical, a benzthiazolyl radical (which may be substituted by C₁₋₆ alkoxy radicals or a C₁₋₆ alkylamino radicals or halophenylamino radicals), or C₁₋₆ alkyl radical which may be substituted by halogen atoms.

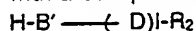
4. A process for the production of a compound having the formula



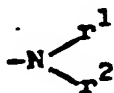
which comprises reacting a compound having the formula



with a compound having the formula

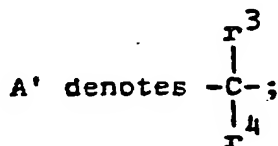


wherein R₁ denotes a phenyl radical (which may be substituted by halogen atoms, C₁₋₆ alkyl radicals, C₁₋₆ alkoxy radicals (which may be substituted by C₁₋₆ alkoxy radicals,) C₂₋₆alkynyloxy radicals, amino radicals, nitro radicals, phenyl radicals, phenoxy radicals or C₁₋₆ alkylthio radicals), a five or six membered heterocyclic radical (which may be substituted by halogen atoms or C₁₋₆ alkyl radicals), a C₁₋₆ alkyl radical (which may be substituted by aryl radicals) or



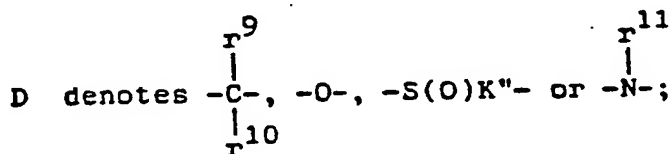
(wherein each of r¹ and r² denotes a C₁₋₆ alkyl radical or a phenyl radical)

X denotes oxygen atom or sulfur atom;



E denotes halogen atom or C₁₋₆ alkoxy radical;

B' denotes $\text{---} \text{O}\text{---}$, $\text{---} \text{S}\text{---}$ or $\text{---} \text{N} \text{---}$ ⁸;



I denotes 0 or 1,

(wherein r³ and r¹⁰, respectively denotes hydrogen atom, halogen atom, C₁₋₆ alkyl radical, the radical expressed by the formula $\text{---} \text{Y}\text{---} r^{12}$ (wherein r¹² denotes hydrogen atom, cyano radical, C₁₋₆ alkyl radical (which may be substituted by C₁₋₆alkoxycarbonyl radicals,) cycloalkyl radical, C₁₋₆alkoxycarbonyl radical, C₁₋₆ alkylcarbamoyl radical, C₁₋₆alkylthiocarbamoyl radical, phenylcarbamoyl radical (which may be substituted by halogen atom), phenylthiocarbamoyl radical (which may be substituted by halogen atoms), or C₁₋

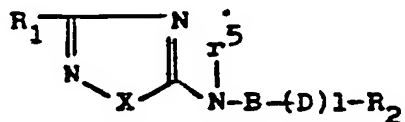
alkylcarbonyl radical (which may be substituted by halogen atoms); Y denotes oxygen atom, sulfur atom, -SO-, -SO₂-, or the

radical expressed by the formula $\cdot\text{N}^{\text{r}13}$ (r¹³: hydrogen atom, C₁₋₆ alkyl radical), or oxo-radicals or the radical expressed by the formula $\text{NO}^{\text{r}14}$ where r³ and r⁴ or r³ and r¹⁰ are combined (wherein r¹⁴ denotes hydrogen atom, C₁₋₆ alkyl radical, C₁₋₆ alkylcarbonyl radical, or C₁₋₆ alkylcarbamoyl radical); K* denotes 0, 1 or 2, r³ and r¹¹ each denote hydrogen atom or C₁₋₆ alkyl radical; provided, however, that B and D do not simultaneously denote oxygen atoms or sulfur atoms.)

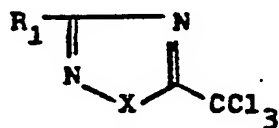
R₂ denotes a phenyl radical (which may be substituted by -Z-r¹⁵ (wherein r¹⁵ denotes hydrogen atom, C₁₋₆ alkyl radical (which may be substituted by C₁₋₆ alkoxycarbonyl radicals or halogen atoms), phenyl radicals, cycloalkyl radicals, the pyridyl radicals (which may be substituted by halogen atoms or C₁₋₆ haloalkyl radicals), C₁₋₆ alkylcarbamoyl radicals, or C₁₋₆ alkylcarbonyl radicals; Z denotes oxygen atom, sulfur atom or

the radicals expressed by the formula $\cdot\text{N}^{\text{r}16}$ (wherein r¹⁶ denotes hydrogen atom of C₁₋₆ alkyl radical), C₁₋₆ alkyl radicals, halogen atoms or nitro radicals), a cycloalkyl radical, a naphthyl radical, a benzthiazolyl radical (which may be substituted by C₁₋₆ alkoxy radicals or a C₁₋₆ alkylamino radicals or halophenylamino radicals), or C₁₋₆ alkyl radical which may be substituted by halogen atoms.

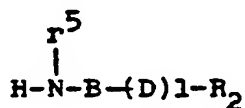
5. A process for the production of a compound having the formula



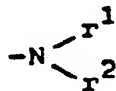
which comprises reacting a compound having the formula



with a compound having the formula



wherein R₁ denotes a phenyl radical (which may be substituted by halogen atoms, C₁₋₆ alkyl radicals, C₁₋₆ alkoxy radicals (which may be substituted by C₁₋₆ alkoxy radicals,) C₂₋₆ alkynyloxy radicals, amino radicals, nitro radicals, phenyl radicals, phenoxy radicals or C₁₋₆ alkylthio radicals), a five or six membered heterocyclic radical (which may be substituted by halogen atoms C₁₋₆ alkyl radicals), a C₁₋₆ alkyl radical (which may be substituted by aryl radicals or



(wherein each of r¹ and r² denotes a C₁₋₆ alkyl radical or a phenyl radical)
X denotes oxygen atom or sulfur atom;

5

10

15

20

25

22

22

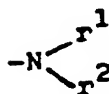
45



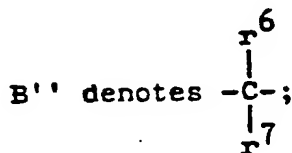
45


$$\text{Hal-B}'' \longrightarrow (\text{D})\text{I-R}_2$$

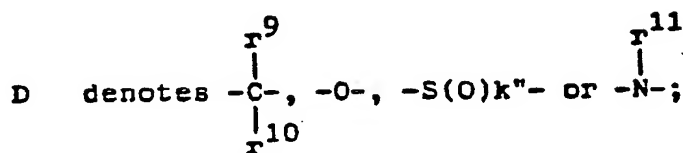
66



(wherein each of r^1 and r^2 denotes a C_{1-6} alkyl radical or a phenyl radical)
 X denotes oxygen atom or sulfur atom;
 A' denotes -O- or -S-;



Hal denotes halogen atom;



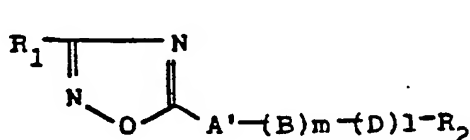
I denotes 0 or 1,
 (wherein r^6 , r^7 , r^9 and r^{10} , respectively, denotes hydrogen atom, halogen atom, C_{1-6} alkyl radical, the radical expressed by the formula $-\text{Y}-\text{r}^{12}$ (wherein r^{12} denotes hydrogen atom, cyano radical, C_{1-6} alkyl radical (which may be substituted by C_{1-6} alkoxy carbonyl radicals,) cycloalkyl radical, C_{1-6} alkoxy carbonyl radical, C_{1-6} alkyl carbamoyl radical, C_{1-6} alkyl thiocarbamoyl radical, phenyl carbamoyl radical (which may be substituted by halogen atom), phenyl thiocarbamoyl radical (which may be substituted by halogen atoms), or C_{1-6} alkyl carbonyl radical (which may be substituted by halogen atoms); Y denotes oxygen atom, sulfur atom, -SO-, -SO₂-, or the

radical expressed by the formula $-\text{N}^{\text{r}^{13}}$ (r^{13} : hydrogen atom, C_{1-6} alkyl radical), or oxo-radicals or the radical expressed by the formula NOr^{14} (wherein r^{14} denotes hydrogen atom, C_{1-6} alkyl radical, C_{1-6} alkyl carbonyl radical, or C_{1-6} alkyl carbamoyl radical), provided, however, that r^6 may form a double bond in combination with r^9 ; k' denotes 0, 1 or 2;
 r^{11} denotes hydrogen atom or C_{1-6} alkyl radical;

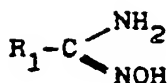
R_2 denotes a phenyl radical (which may be substituted by $-\text{Z}-\text{r}^{15}$ (wherein r^{15} denotes hydrogen atom, C_{1-6} alkyl radical (which may be substituted by C_{1-6} alkoxy carbonyl radicals or halogen atoms), phenyl radicals, cycloalkyl radicals, the pyridyl radicals (which may be substituted by halogen atoms or C_{1-6} haloalkyl radicals), C_{1-6} alkyl carbamoyl radicals, or C_{1-6} alkyl carbonyl radicals; Z denotes oxygen atom, sulfur atom or

the radicals expressed by the formula $-\text{N}^{\text{r}^{16}}$ (wherein r^{16} denotes hydrogen atom or C_{1-6} alkyl radical), C_{1-6} alkyl radicals, halogen atoms or nitro radicals), a cycloalkyl radical, a naphthyl radical, a benzthiazolyl radical (which may be substituted by C_{1-6} alkoxy radicals or C_{1-6} alkylamino radicals or halophenylamino radicals) or a C_{1-6} alkyl radical which may be substituted by halogen atoms.

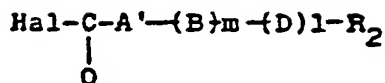
7. A process for the production of a compound having the formula



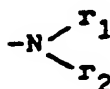
which comprises reacting a compound having the formula



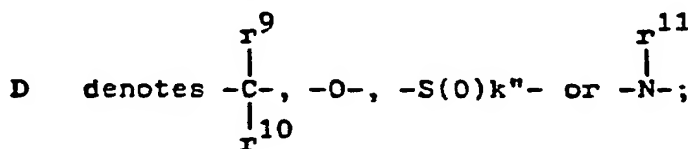
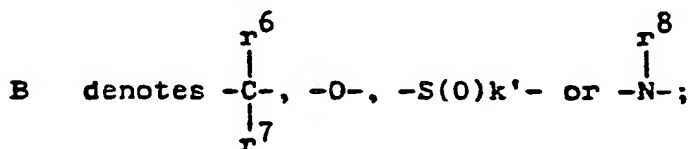
with a compound having the formula



wherein R_1 denotes a phenyl radical (which may be substituted by halogen atoms, C_{1-6} alkyl radicals, C_{1-6} alkoxy radicals (which may be substituted by C_{1-6} alkoxy radicals,) C_{2-6} alkynyloxy radicals, amino radicals, nitro radicals, phenyl radicals, phenoxy radicals or C_{1-6} alkylthio radicals), a five or six membered heterocyclic radical (which may be substituted aryl radicals) or



(wherein each of r^1 and r^2 denotes a C_{1-6} alkyl radical or a phenyl radical)
Hal denotes halogen atom;



m and l each denote 0 or 1,

(wherein r^3 , r^4 , r^6 , r^7 , r^9 and r^{10} , respectively, denotes hydrogen atom, halogen atom, C_{1-6} alkyl radical, the radical expressed by the formula $-Y-r^{12}$ (wherein r^{12} denotes hydrogen atom, cyano radical, C_{1-6} alkyl radical (which may be substituted by C_{1-6} alkoxycarbonyl radicals,) cycloalkyl radical, C_{1-6} alkoxycarbonyl radical, C_{1-6} alkylcarbamoyl radical, C_{1-6} alkylthiocarbamoyl radical, phenylcarbamoyl radical (which may be substituted by halogen atom), phenylthiocarbamoyl radical (which may be substituted by halogen atoms), or C_{1-6} alkylcarbonyl radical (which may be substituted by halogen atoms); Y denotes oxygen atom, sulfur atom, $-SO-$, $-SO_2-$, or the radical expressed by the formula

$\begin{array}{c} r^{13} \\ | \\ -N- \end{array}$ (r^{13} : hydrogen atom, C_{1-6} alkyl radical), or oxo-radicals or the radical expressed by the formula $NO-r^{14}$ (wherein r^{14} denotes hydrogen atom, C_{1-6} alkyl radical, C_{1-6} alkylcarbonyl radical, or C_{1-6} alkylcarbamoyl radical), provided, however, that r^6 may form a double bond in combination with r^3 or r^9 ; k' and k'' denote 0, 1 or 2, respectively;

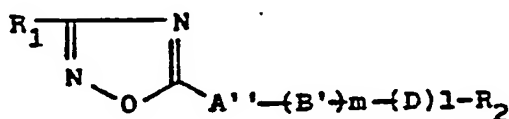
r^8 or r^{11} each denote hydrogen atom or C_{1-6} alkyl radical; provided, however, that B and D do not simultaneously denote oxygen atoms or sulfur atoms.)

R_2 denotes a phenyl radical (which may be substituted by $-Z-r^{15}$ (wherein r^{15} denotes hydrogen atom, C_{1-6} alkyl radical (which may be substituted by C_{1-6} alkoxycarbonyl radicals or halogen atoms), phenyl radicals,

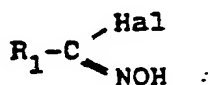
cycloalkyl radicals, the pyridyl radicals (which may be substituted by halogen atoms or C₁₋₆ haloalkyl radicals), C₁₋₆ alkylcarbamoyl radicals, or C₁₋₆ alkylcarbonyl radicals; Z denotes oxygen atom, sulfur atom or

the radicals expressed by the formula -N^{r¹⁶} (wherein r¹⁶ denotes hydrogen atom or C₁₋₆ alkyl radical), C₁₋₆ alkyl radicals, halogen atoms or nitro radicals), a cycloalkyl radical, a naphthyl radical, a benzthiazolyl radical (which may be substituted by C₁₋₆ alkoxy radicals or C₁₋₆ alkylamino radicals or halophenylamino radicals), or a C₁₋₆ alkyl radical which may be substituted by halogen atoms.

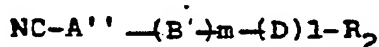
8. A process for the production of a compound having the formula



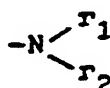
which comprises reacting a compound having the formula



with a compound having the formula

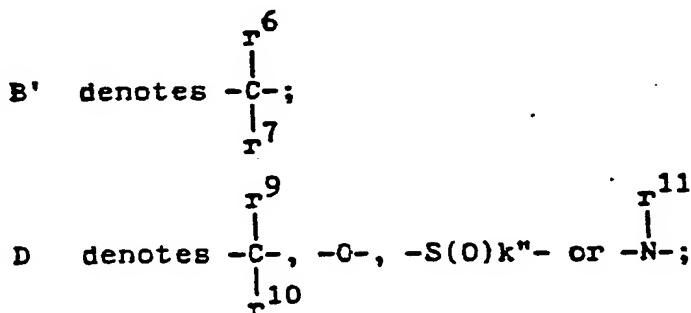


wherein R₁ denotes a phenyl radical (which may be substituted by halogen atoms, C₁₋₆ alkyl radicals, C₁₋₆ alkoxy radicals (which may be substituted by C₁₋₆ alkoxy radicals,) C₂₋₆ alkynyloxy radicals, amino radicals, nitro radicals, phenyl radicals, phenoxy radicals or C₁₋₆ alkylthio radicals), a five or six membered heterocyclic radical (which may be substituted by halogen atoms C₁₋₆ alkyl radicals) a C₁₋₆ alkyl radical (which may be substituted by aryl radicals) or



(wherein each of r¹ and r² denotes a C₁₋₆ alkyl radical or a phenyl radical)

A' denotes -O- or -S-;



m and l each denote 0 or 1.

(wherein r⁶, r⁷, r⁹ and r¹⁰, respectively, denotes hydrogen atom, halogen atom, C₁₋₆ alkyl radical, the radical expressed by the formula -Y-r¹² (wherein r¹² denotes hydrogen atom, cyano radical, the C₁₋₆ alkyl radical (which may be substituted by C₁₋₆ alkoxycarbonyl radicals,) cycloalkyl radical, C₁₋₆ alkoxycarbonyl radical, C₁₋₆ alkylcarbamoyl radical, C₁₋₆ alkylthiocarbamoyl radical, phenylcarbamoyl radical (which may be substituted by halogen atom), phenylthiocarbamoyl radical (which may be substituted by halogen atoms), or C₁₋

₆alkylcarbonyl radical (which may be substituted by halogen atoms); Y denotes oxygen atom, sulfur atom, -SO-, -SO₂-, or the

radical expressed by the formula -N-^{r¹³} (r¹³: hydrogen atom, C₁₋₆ alkyl radical), or oxo-radicals or the radical expressed by the formula NO^{r¹⁴} (wherein r¹⁴ denotes hydrogen atom, C₁₋₆alkyl radical, C₁₋₆ alkylcarbonyl radical, or C₁₋₆alkylcarbonyl radical), provided, however, that r⁵ may form a double bond in combination with r²; k" denote 0, 1 or 2;

r¹¹ denotes hydrogen atom or C₁₋₆ alkyl radical;)

R₂ denotes a phenyl radical (which may be substituted by -Z-r¹⁵ (wherein r¹⁵ denotes hydrogen atom, C₁₋₆ alkyl radical (which may be substituted by C₁₋₆ alkoxy carbonyl radicals or halogen atoms), phenyl radicals, cycloalkyl radicals, the pyridyl radicals (which may be substituted by halogen atoms or C₁₋₆haloalkyl radicals), C₁₋₆ alkylcarbonyl radicals, or C₁₋₆alkylcarbonyl radicals; Z denotes oxygen atom, sulfur atom or

the radicals expressed by the formula -N-^{r¹⁶} (wherein r¹⁶ denotes hydrogen atom or C₁₋₆ alkyl radical), ₁₋₆ alkyl radicals, halogen atoms or nitro radicals), a cycloalkyl radical, a naphthyl radical, a benzthiazolyl radical (which may be substituted by C₁₋₆ alkoxy radicals or a ₁₋₆ alkyl radical which may be substituted by halogen atoms).

9. A process for controlling pests such as mites, characterized in that a compound or a composition as defined in claim 1 or 2 is used.



Europäisches Patentamt
European Patent Office
Office européen des brevets

Publication number:

**0 273 534
A3**

EUROPEAN PATENT APPLICATION

Application number: 87202629.9

Int. Cl.⁴: **C07D 271/06 , C07D 285/08 ,
C07D 413/12 , C07D 413/04 ,
C07D 417/04**

Date of filing: 24.12.87

Priority: 27.12.86 JP 310145/86

Date of publication of application:
06.07.88 Bulletin 88/27

Designated Contracting States:
AT BE CH DE FR GB GR IT LI LU NL SE

Date of deferred publication of the search report:
25.10.89 Bulletin 89/43

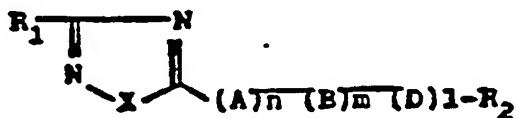
Applicant: **NIPPON SODA CO., LTD.**
2-1, Ohtemachi 2-chome
Chiyoda-ku Tokyo, 100(JP)

Inventor: **Kishimoto, Takashi**
345, Aza Yanagimachi Takada
Odawara-shi Kanagawa(JP)
Inventor: **Okabe, Takashi**
345, Aza Yanagimachi Takada
Odawara-shi Kanagawa(JP)
Inventor: **Yamada, Tomio**
345, Aza Yanagimachi Takada
Odawara-shi Kanagawa(JP)
Inventor: **Matsuda, Michihiko**
345, Aza Yanagimachi Takada
Odawara-shi Kanagawa(JP)
Inventor: **Kitagawa, Tatsuo**
345, Aza Yanagimachi Takada
Odawara-shi Kanagawa(JP)

Representative: **van der Beek, George Frans,**
Ir. et al
Nederlandsch Octrooibureau
Scheveningseweg 82 P.O. Box 29720
NL-2502 LS 's-Gravenhage(NL)

Oxa(thia)diazole derivatives.

The present invention relates to thiadiazole or oxadiazole derivatives having the formula



wherein X = O or S, R₁, R₂, A, B and D represent various substituents or connecting groups and n, m and 1 each denotes 0 or 1, their use in acaricidal

compositions, processes for their preparation as well as a process for controlling pests, using said compounds.



European Patent
Office

EUROPEAN SEARCH REPORT

Application Number

EP 87 20 2629

DOCUMENTS CONSIDERED TO BE RELEVANT			
Category	Citation of document with indication, where appropriate, of relevant passages	Relevant to claim	CLASSIFICATION OF THE APPLICATION (Int. Cl.4)
X	JOURNAL OF MEDICINAL CHEMISTRY, vol. 29, no. 11, November 1986, pages 2174-2183, American Chemical Society, Washington, DC, US; C.D. BEDFORD et al.: "Nonquaternary cholinesterase reactivators. 3. 3(5)-Substituted 1,2,4-oxadiazol-5(3)-aldoximes and 1,2,4-oxadiazole-5(3)-thiocarbohydroximates as reactivators of organophosphonate-inhibited eel and human acetylcholinesterase in vitro" * Whole article *	1,3-8	C 07 D 271/06 C 07 D 285/08 C 07 D 413/12 C 07 D 413/04 C 07 D 417/04 A 01 N 43/82
X	CHEMISCHE BERICHTE, vol. 105, no. 9, 4th September 1972, pages 2825-2840, Weinheim, DE; K. BAST et al.: "Additionen der Nitriloxide an CN-Mehrfachbindungen" * Whole article *	1,3-8	
X	JOURNAL OF HETEROCYCLIC CHEMISTRY, vol. 16, no. 2, March 1979, pages 311-320, Hetero Corp., Provok, UT, US; J.-P. GILBERT et al.: "Compétition entre les réactivités dipolarophiles et nucléophiles des pyrazolines-2 dans l'action de l'oxyde de benzonitrile. Synthèse, identification, comportement chimique des tétrahydropyrazolo-[4,5-b]oxadiazoles-1, 2,4" * Whole article *	1,3-8	TECHNICAL FIELDS SEARCHED (Int. Cl.4) C 07 D 271/00 C 07 D 285/00 A 01 N 43/00
The present search report has been drawn up for all claims			
Place of search THE HAGUE		Date of completion of the search 17-05-1989	Examiner ALLARD M. S.
<div>CATEGORY OF CITED DOCUMENTS</div> <div>X : particularly relevant if taken alone Y : particularly relevant if combined with another document of the same category A : technological background O : non-written disclosure P : intermediate document</div> <div>T : theory or principle underlying the invention E : earlier patent document, but published on, or after the filing date D : document cited in the application L : document cited for other reasons ----- & : member of the same patent family, corresponding document</div>			



DOCUMENTS CONSIDERED TO BE RELEVANT			
Category	Citation of document with indication, where appropriate, of relevant passages	Relevant to claim	CLASSIFICATION OF THE APPLICATION (Int. Cl. 4)
X	TETRAHEDRON LETTERS, no. 5, 1969, pages 311-312, Pergamon Press, Oxford, GB; P. RAJAGOPALAN: "Dipolar addition reactions of nitrile oxides. VII. A new general method of sythesis of 3,5-disubstituted 1,2,4-oxadiazoles" * Whole article *	1,3-8	
X	US-A-3 227 725 (F. ELOY) * Whole document *	1-9	
X	US-E- 29 439 (J.H. PARSONS) * Whole document *	1-9	
X	DE-A-2 426 878 (BASF) * Whole document *	1,3-8	
X	BE-A- 778 464 (FISONS) * Whole document *	1-9	
X	US-A-4 057 639 (T.O. EVRARD) * Whole document *	1-9	TECHNICAL FIELDS SEARCHED (Int. Cl.4)
X	EP-A-0 036 711 (FBC) * Whole document *	1-9	
The present search report has been drawn up for all claims			
Place of search THE HAGUE		Date of completion of the search 17-05-1989	Examiner ALLARD M.S.
CATEGORY OF CITED DOCUMENTS X : particularly relevant if taken alone Y : particularly relevant if combined with another document of the same category A : technological background O : non-written disclosure P : intermediate document T : theory or principle underlying the invention E : earlier patent document, but published on, or after the filing date D : document cited in the application L : document cited for other reasons & : member of the same patent family, corresponding document			